

	Type	L #	Hits	Search Text	DBs
1	BRS	L1	154644	field near8 effect near8 transistor	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
2	BRS	L2	353641 7	(sensor or detector or monitor)	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
3	BRS	L3	319199	(boron or (boronic near8 acid))	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B

	Type	L #	Hits	Search Text	DBs
4	BRS	L4	24	1 same 2 same 3	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
5	BRS	L5	13597	boronic near8 acid	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
6	BRS	L6	47188	gate near8 electrode near8 surface	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B

	Type	L #	Hits	Search Text	DBs
7	BRS	L7	0	1 same 2 same 5 same 6	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
8	BRS	L8	111042	gate near8 surface	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
9	BRS	L9	0	1 same 2 same 5 same 8	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B

	Type	L #	Hits	Search Text	DBs
10	BRS	L10	237501	gate near8 electrode	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
11	BRS	L11	0	1 same 2 same 5 same 10	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
12	BRS	L17	257190	(phenylene or naphthalene)	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B

	Type	L #	Hits	Search Text	DBs
13	BRS	L19	2	1 and 17 same 3 same gate	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
14	BRS	L18	33	1 and 17 same 3	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
15	BRS	L20	726478	(thiol or phosphate or siloxane)	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B

	Type	L #	Hits	Search Text	DBs
16	BRS	L21	2653	1 and 20	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
17	BRS	L23	131	1 same 20	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
18	BRS	L24	35791	glucose near8 (sens\$6 or detect\$6 or monitor\$6 or measur\$6 or determin\$6)	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B

	Type	L #	Hits	Search Text	DBs
19	BRS	L25	590	1 and 24	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
20	BRS	L26	122	1 same 24	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
21	BRS	L27	1	1 same 24 same 3	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B

	Type	L #	Hits	Search Text	DBs
22	BRS	L28	26	1 same 24 and 3	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
23	BRS	L32	325632	(alkylene or alkenylene or cycloalkylene or heterocyclylene or arylene or heteroarylene)	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B
24	BRS	L33	516	24 and 3 and 32	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B

	Type	L #	Hits	Search Text	DBs
25	BRS	L34	3	1 and 24 and 3 and 32	US- PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWEN T; IBM_TD B

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NEWS	4	AUG 13	CA/CAPplus enhanced with additional kind codes for granted patents
NEWS	5	AUG 20	CA/CAPplus enhanced with CAS indexing in pre-1907 records
NEWS	6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
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NEWS	8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	10	SEP 13	FORIS renamed to SOFIS
NEWS	11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	12	SEP 17	CA/CAPplus enhanced with printed CA page images from 1967-1998
NEWS	13	SEP 17	CAPplus coverage extended to include traditional medicine patents
NEWS	14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	15	OCT 02	CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	16	OCT 19	BEILSTEIN updated with new compounds
NEWS	17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	18	NOV 19	WPIX enhanced with XML display format
NEWS	19	NOV 30	ICSD reloaded with enhancements
NEWS	20	DEC 04	LINPADOCDB now available on STN
NEWS	21	DEC 14	BEILSTEIN pricing structure to change
NEWS	22	DEC 17	USPATOLD added to additional database clusters
NEWS	23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	24	DEC 17	DGENE now includes more than 10 million sequences
NEWS	25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	26	DEC 17	MEDLINE and LMEMLINE updated with 2008 MeSH vocabulary
NEWS	27	DEC 17	CA/CAPplus enhanced with new custom IPC display formats
NEWS	28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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=> s field (8w) effect (8w) transistor

L1 92146 FIELD (8W) EFFECT (8W) TRANSISTOR

=> s glucose (s) (measur? or detect? or sens? or monitor?)

2 FILES SEARCHED...

L2 63803 GLUCOSE (S) (MEASUR? OR DETECT? OR SENS? OR MONITOR?)

=>

=> s boronic (8w) acid (s) gate (8w) (surface or electrode)

L3 0 BORONIC (8W) ACID (S) GATE (8W) (SURFACE OR ELECTRODE)

=> s boronic (8w) acid (s) gate

L4 3 BORONIC (8W) ACID (S) GATE

=> s boronic (8w) acid (p) gate

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH

FIELD CODE - 'AND' OPERATOR ASSUMED 'ACID (P) GATE'

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH

FIELD CODE - 'AND' OPERATOR ASSUMED 'ACID (P) GATE'

L5 5 BORONIC (8W) ACID (P) GATE

=> display l5 1-5 ibib abs

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:1154354 CAPLUS

TITLE: Chemomechanical polymers as sensors and actuators for biological and medicinal applications

AUTHOR(S): Schneider, Hans-Joerg; Kato, Kazuaki; Strongin, Robert M.

CORPORATE SOURCE: FR Organische Chemie der Universitaet des Saarlandes,
Saarbruecken, D-66041, Germany
SOURCE: Sensors (2007), 7(8), 1578-1611
CODEN: SENSC9; ISSN: 1424-8220
URL: <http://www.mdpi.net/sensors/papers/s7081578.pdf>
PUBLISHER: Molecular Diversity Preservation International
DOCUMENT TYPE: Journal; General Review; (online computer file)
LANGUAGE: English

AB A review. Changes in the chemical environment can trigger large motions in chemomech. polymers. The unique feature of such intelligent materials, mostly in the form of hydrogels, is therefore, that they serve as sensors and actuators at the same time, and do not require any measuring devices, transducers or power supplies. Until recently the most often used of these materials responded to changes in pH. Chemists are now increasingly using supramol. recognition sites in materials, which are covalently bound to the polymer backbone. This allows one to use a nearly unlimited variety of guest (or effector) compds. in the environment for a selective response by automatically triggered size changes. This is illustrated with non-covalent interactions of effectors comprising of metal ions, isomeric organic compds., including enantiomers, nucleotides, aminoacids, and peptides. Two different effector mols. can induce motions as functions of their concentration, thus representing a logical AND gate. This concept is particularly fruitful with effector compds. such as peptides, which only trigger size changes if, e.g. copper ions are present in the surroundings. Another principle relies on the fast formation of covalent bonds between an effector and the chemomech. polymer. The most promising application is the selective interaction of covalently fixed boronic acid residues with glucose, which renders itself not only for sensing, but eventually also for delivery of drugs such as insulin. The speed of the responses can significantly increase by increasing the surface to volume ratio of the polymer particles. Of particular interest is the sensitivity increase which can be reached by downsizing the particle volume

REFERENCE COUNT: 167 THERE ARE 167 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:1085592 CAPLUS
DOCUMENT NUMBER: 147:498007
TITLE: Analysis of dopamine and tyrosinase activity on ion-sensitive field-effect transistor (ISFET) devices
AUTHOR(S): Freeman, Ronit; Elbaz, Johann; Gill, Ron; Zayats, Maya; Willner, Itamar
CORPORATE SOURCE: Institute of Chemistry, The Hebrew University of Jerusalem, Jerusalem, 91904, Israel
SOURCE: Chemistry--A European Journal (2007), 13(26), 7288-7293
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Dopamine (I) and tyrosinase (TR) activities were analyzed by using chemical modified ion-sensitive field-effect transistor (ISFET) devices. In one configuration, a phenylboronic acid functionalized ISFET was used to analyze I or TR. The formation of the boronate-I complex on the surface of the gate altered the elec. potential associated with the gate, and thus enabled I to be analyzed with a detection limit of $7 + 10^{-5}$ M. Similarly, the TR-induced formation of I, and its association with the boronic acid ligand allowed a quant. assay of TR to be performed. In another configuration, the surface of the ISFET gate was modified with tyramine or I to form functional

surfaces for analyzing TR activities. The TR-induced oxidation of the tyramine- or I-functionalized ISFETs resulted in the formation of the redox-active dopaquinone units. The control of the gate potential by the redox-active dopaquinone units allowed a quant. assay of TR to be performed. The dopaquinone-functionalized ISFETs could be regenerated to give the I-modified sensing devices by treatment with ascorbic acid.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:848339 CAPLUS

DOCUMENT NUMBER: 147:161051

TITLE: Glucose sensor using gate effect of thin layer of covalently molecularly imprinted polymer

AUTHOR(S): Narimatsu, Akisato; Sekine, Shin-ichi; Yoshimi, Yasuo

CORPORATE SOURCE: Department of Applied Chemistry, Shibaura Institute of Technology, Koto-ku, Tokyo, 135-8548, Japan

SOURCE: Chemical Sensors (2007), 23(Suppl. A), 31-33

CODEN: KAGSEU

PUBLISHER: Denki Kagakkai Kagaku Sensa Kenkyukai

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB The final purpose of this work is development of an enzyme-free glucose sensor using gate effect of molecularly imprinted polymer (MIP). Gate effect is change in solute diffusive permeability of MIP by specific interaction with its template. Glucose bound covalently with 4-vinylphenyl boronic acid by azeotropic distillation of pyridine. The compound copolymd. with crosslinking monomer N,N'-methylene-bis-acrylamide with grafting onto indium-tin oxide (ITO). The faradaic current of ferricyanide at the grafted ITO electrode was sensitive to glucose concentration ranging 1-10 mM. The range corresponds to level of healthy blood glucose.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:419015 CAPLUS

DOCUMENT NUMBER: 144:124260

TITLE: An enzymeless glucose sensor using gate effect of thin layer of covalently imprinted polymer

AUTHOR(S): Yoshimi, Yasuo; Akabori, Yuhta; Ogawa, Takahisa;

Hattori, Koji; Sakai, Kiyotaka

CORPORATE SOURCE: Department of Applied Chemistry, Shibaura Institute of Technology, Minato-ku, Tokyo, 108-8548, Japan

SOURCE: Chemical Sensors (2005), 21(Suppl. A), 193-195

CODEN: KAGSEU

PUBLISHER: Denki Kagakkai Kagaku Sensa Kenkyukai

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB The purpose of this work is development of an enzyme-free glucose sensor using molecularly imprinted polymer (MIP). Glucose and 4-vinylphenyl boronic acid (VPBA) were allowed to conjugate by azeotropic distillation of pyridine. The conjugate was purified repptn. The conjugate was allowed to copolymd. with crosslinking monomer ethyleneglycol dimethacrylate and methacrylic group fixed on indium tin oxide (ITO) in order to graft glucose-imprinted polymer onto the ITO. However, the faradic current of ferricyanide at the grafted ITO electrode was insensitive glucose against our attempt. The design of the polymerization

of

the MIP would be needed in order to obtain the gate effect of the MIP for the development of the glucose sensor.

L5 ANSWER 5 OF 5 COMPENDEX COPYRIGHT 2007 EEI on STN

ACCESSION NUMBER: 2007(39):6582 COMPENDEX
 TITLE: Analysis of dopamine and tyrosinase activity on
 Ion-Sensitive Field-Effect Transistor (ISFET) devices.
 AUTHOR: Freeman, Ronit (Institute of Chemistry Hebrew
 University of Jerusalem, Jerusalem 91904, Israel);
 Elbaz, Johann; Gill, Ron; Zayats, Maya; Willner,
 Itamar
 SOURCE: Chemistry - A European Journal v 13 n 26 2007.p
 7288-7293
 SOURCE: Chemistry - A European Journal v 13 n 26 2007.p
 7288-7293
 CODEN: CEUJED ISSN: 0947-6539 E-ISSN: 1521-3765
 PUBLICATION YEAR: 2007
 DOCUMENT TYPE: Journal
 TREATMENT CODE: Theoretical; Experimental
 LANGUAGE: English

AN 2007(39):6582 COMPENDEX

AB Dopamine (1) and tyrosinase (TR) activities were analyzed by using
 chemically modified ion-sensitive field-effect transistor (ISFET) devices.
 In one configuration, a phenylboronic acid functionalized ISFET was used
 to analyze 1 or TR. The formation of the boronate-1 complex on the surface
 of the gate altered the electrical potential associated with the
 gate, and thus enabled 1 to be analyzed with a detection limit of
 7×10^{-5} M. Similarly, the TR-induced formation of 1, and its association
 with the boronic acid ligand allowed a quantitative
 assay of TR to be performed. In another configuration, the surface of the
 ISFET gate was modified with tyramine or 1 to form functional
 surfaces for analyzing TR activities. The TR-induced oxidation of the
 tyramine- or 1-functionalized ISFETs resulted in the formation of the
 redox-active dopaquinone units. The control of the gate
 potential by the redox-active dopaquinone units allowed a quantitative
 assay of TR to be performed. The dopaquinone-functionalized ISFETs could
 be regenerated to give the 1-modified sensing devices by treatment with
 ascorbic acid. \$CPY 2007 Wiley-VCH Verlag GmbH & Co. KGaA. 22 Refs.

=> (alkylene or alkenylene or cycloalkylene or heterocyclylene or arylene or heteroarylene)

(ALKYLENE IS NOT A RECOGNIZED COMMAND)

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 For a list of commands available to you in the current file, enter
 "HELP COMMANDS" at an arrow prompt (=>).

=> s (alkylene or alkenylene or cycloalkylene or heterocyclylene or arylene or heteroarylene)

L6 70587 (ALKYLENE OR ALKENYLENE OR CYCLOALKYLENE OR HETEROCYCLYLENE OR
 ARYLENE OR HETEROARYLENE)

=> s l1 (p) l6 (p) boronic (8w) acid

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH

FIELD CODE - 'AND' OPERATOR ASSUMED 'L2 (P) L22'

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH

FIELD CODE - 'AND' OPERATOR ASSUMED 'L22 (P) BORONIC'

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH

FIELD CODE - 'AND' OPERATOR ASSUMED 'L3 (P) L23'

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH

FIELD CODE - 'AND' OPERATOR ASSUMED 'L23 (P) BORONIC'

L7 0 L1 (P) L6 (P) BORONIC (8W) ACID

=> s l1 (p) l6 and boronic (8w) acid

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH

FIELD CODE - 'AND' OPERATOR ASSUMED 'L2 (P) L22'

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'L3 (P) L23'
L8 0 L1 (P) L6 AND BORONIC (8W) ACID

=> s l6 (p) boronic (8w) acid
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'L22 (P) BORONIC'
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'L23 (P) BORONIC'
L9 65 L6 (P) BORONIC (8W) ACID

=> s l1 and l9
L10 0 L1 AND L9

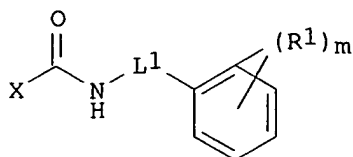
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'1-65' IS NOT VALID. VALID FILE NAMES ARE 'CAPLUS, COMPENDEX, INSPEC'
You have entered a file name of duplicates to keep that is not
referenced by any of the L#s specified for this DUPLICATE command.
The file names of duplicates that can be kept are listed above.
Please enter one of these file names.
ENTER FILE NAMES OF DUPLICATES TO KEEP:caplus
PROCESSING COMPLETED FOR L9
L11 58 DUPLICATE REMOVE L9 CAPLUS (7 DUPLICATES REMOVED)

=> display l11 1-58 ibib abs

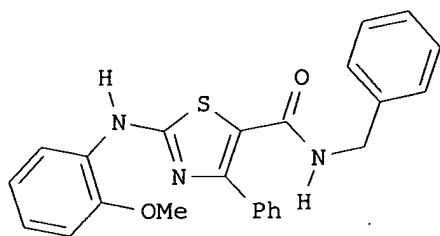
L11 ANSWER 1 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:1396393 CAPLUS
TITLE: Preparation of thiazole and pyridinyl carboxamides and
related heterocyclic analogs that interact with ion
channels
INVENTOR(S): Blom, Petra; Defert, Olivier; Kaletta, Titus; Leysen,
Dirk Casimir Maria
PATENT ASSIGNEE(S): Devgen N.V., Belg.
SOURCE: PCT Int. Appl., 90pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
WO 2007138110	A2	20071206	WO 2007-EP55404	20070601
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			EP 2006-447076 US 2006-809843P	A 20060601 P 20060601

GI



I



II

AB Title compds. I [X = substituted thiazole, pyridine, oxopiperidine, etc.; R1 = H, halo, OH, NO2, alkyl, etc.; L1 = alkylene, cycloalkylene, pyrrolidinylenealkylene, etc.; m = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as being capable of interacting with ion channels, in particular ion channels from the kv family. Thus, e.g., II was prepared by coupling of the corresponding 4-bromothiazole derivative (preparation given) with Ph boronic acid. Inhibition assays of the kv4.3 ion channel are described, e.g., II provided above 50% inhibition. The invention also relates to methods for preparing said compds., to pharmaceutical compns. comprising said compds., and to the use of said compds. in methods for treatment of the human and animal body.

L11 ANSWER 2 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:1236424 CAPLUS

DOCUMENT NUMBER: 147:486544

TITLE: Improved process for preparation of boronic acids containing cyano, carboxy and carboxamide functional groups by boration of nitriles with subsequent partial or complete hydrolysis of nitrile function

INVENTOR(S): Meudt, Andreas; Nerdinger, Sven; Lehnemann, Bernd

PATENT ASSIGNEE(S): Archimica GmbH, Germany

SOURCE: PCT Int. Appl., 25pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007121805	A1	20071101	WO 2007-EP1764	20070301
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,			

UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2006-102006018524A 20060421

OTHER SOURCE(S): CASREACT 147:486544; MARPAT 147:486544

AB An improved process for preparation of carboxy- and aminocarbonyl-substituted boronic acids and boronates R₂BZCONH₂, (RO)₂BZCO₂H, [4, 5, resp., Z = (hetero)arylene, (hetero)alkylene, (hetero)alkylidene, (hetero)alkenylidene, alkynylidene; R = H, organyl, OR-ROB may form a cycle, (RO)₂B = borate, boronic anhydride], useful as reagents for organic synthesis (no data), tolerant to a variety of functional groups, comprises metalation of (halo)nitriles XZCN (same Z; X = H, Br, I) by (organo)metallic reagents MR_n, preferably by organolithium compds., alkali metal amides, silazides, alkoxides, Grignard reagents, diorganomagnesium compds. or metallic Zn, in optional presence of activating ligands or metal salts at -120°- +30°, preferably in situ boration by borate triester at -100°-0° in ether or inert solvent to give intermediate cyanoboronates (RO)₂BZCN (3, same R, Z). The compds. 3 undergo stepwise chemoselective partial or complete hydrolysis by (in)organic Bronsted bases Y(OH)_n, preferably alkali- and alkaline

earth-metal hydroxides or carbonates, yielding boronated carboxamides 4 or carboxylic acids 5 in aprotic solvents, preferably THF, 2-methyltetrahydrofuran, or protic solvents, preferably water, MeOH, EtOH, propanols, BuOH, tBuOH, (poly)ethyleneglycols, propyleneglycol, glycerol or their mixts. at 20°-250°, preferably at reflux. In an example, 3-boronobenzoic acid was prepared by reaction of 68 mmol of 3-cyanoboronic acid with 4 equiv of KOH powder in 40 mL or ethylene glycol at 175° for 3 h, with subsequent acidic work-up at pH 2-3, with 89% yield.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:998814 CAPLUS

DOCUMENT NUMBER: 147:344085

TITLE: Preparation of N-biaryl- and N-[(aryl)pyrazolyl]-heterocyclylalkyl amide and urea derivatives as modulators of α₇ nicotinic acetylcholine receptors

INVENTOR(S): Bothmann, Hendrick; Roncarati, Renza; Bettinetti, Laura; Quinn, Joanna; Varrone, Maurizio; Valacchi, Michela; Nencini, Arianna; Micco, Iolanda; Ghiron, Chiara; Haydar, Simon

PATENT ASSIGNEE(S): Siena Biotech S.p.A., Italy; Wyeth Pharmaceuticals

SOURCE: PCT Int. Appl., 15lpp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007098826	A2	20070907	WO 2007-EP382	20070117
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,			

MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

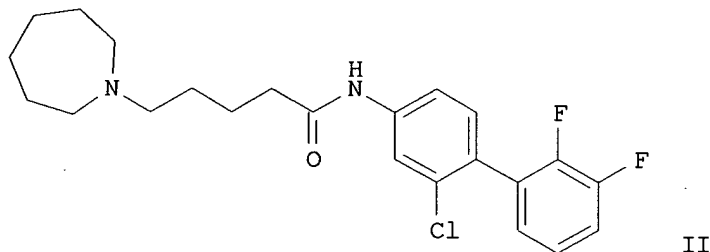
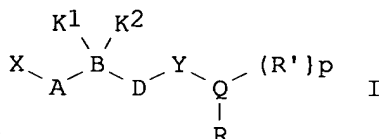
PRIORITY APPLN. INFO.:

US 2006-743141P P 20060118

US 2006-743144P P 20060118

OTHER SOURCE(S): MARPAT 147:344085

GI



AB Title compds. I [R and Q = (un)substituted 5- to 10-membered (hetero)aromatic ring; R' independently = halo, OH, SH, CN, NO₂, trihalomethyl, trihalomethoxy, etc.; p = 0-2; Y = CONH or NHCONH; A = (CH₂)_q; B = (CH₂)_m; D = (CH₂)_n, wherein q, m and n independently = 0-3 with the condition that 3 < q + m + n < 5; K1 and K2 independently = H, halo, alkyl, alkoxy, fluoroalkyl, alkylene, etc.; K1K2 = alkylidene or fluoroalkylidene group; or K1 and K2 may join together with the carbon atom to which they are attached to form a cycloalkyl group or an oxo group when q = 1-3, and n = 1; X = N-containing group, e.g., azepanyl, piperidinyl, pyrrolidinyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of $\alpha 7$ nicotinic acetylcholine receptors ($\alpha 7$ nAChR) for the treatment of neurol. and psychiatric diseases. Thus, e.g., formate salt of II was prepared via acylation of 4-bromo-3-chloroaniline with 5-bromovaleryl chloride followed by condensation with azepane to generate intermediate 5-(azepan-1-yl)pentanoic acid N-(4-bromo-3-chlorophenyl)amide as a formate salt, which underwent Suzuki coupling reaction with (2,3-difluorophenyl) boronic acid. I exhibited $\alpha 7$ nAChR agonistic activity in FLIPR assay with the potency ranging from 10 nM to 30 μ M, with the majority showing a potency ranging between 10 nM and 10 μ M.

L11 ANSWER 4 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:173785 CAPLUS

DOCUMENT NUMBER: 146:229169

TITLE: Preparation of nitrogenous heterocyclic derivatives as organic electroluminescent materials

INVENTOR(S): Hosokawa, Chishio; Yamamoto, Hiroshi; Arakane, Takashi

PATENT ASSIGNEE(S): Idemitsu Kosan Co., Ltd., Japan

SOURCE: PCT Int. Appl., 48pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007018004	A1	20070215	WO 2006-JP313469	20060706
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2007039405	A	20070215	JP 2005-227614	20050805
PRIORITY APPLN. INFO.:			JP 2005-227614	A 20050805
OTHER SOURCE(S):			CASREACT 146:229169; MARPAT 146:229169	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1-R10 = H, (un)substituted aryl, (un)substituted pyridyl, etc.; at least one of R1-R10 is -L-HAr.; L = (un)substituted arylene, (un)substituted pyridinylene, (un)substituted quinolinylene, etc.; HAr = a group formed by abstracting one of R1a-R8a from Q1; R1a-R8a = H, (un)substituted aryl, (un)substituted pyridyl, etc.] were prepared For example, Pd(PPh3)4 catalyzed coupling reaction of 10-naphthalen-2-ylanthracene-9-boronic acid with 4-bromoanthracene followed by treatment with 8-aminoquinoline-7-carboxaldehyde afforded compound II. The exemplified compound was tested for elec. conduction, showed blue electroluminescence with the brightness of 855.9 cd/m2 and efficiency of 8.60 cd/A at 4.8 V.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:1308749 CAPLUS

DOCUMENT NUMBER: 147:502094

TITLE: N-Aryl 9,9'-spirobifluorene-2,2'-diamines as hole-transporting materials for organic electroluminescent devices

INVENTOR(S): Tsai, Ming-Han; Lin, Hao-Wu; Su, Hai-Ching; Wu, Chung-Chih; Fang, Fu-Chuan; Liao, Yuan-Li; Wong, Ken-Tsung; Wu, Chih-I.; Lin, Chi-Yen; Hung, Wen-Yi; Hou, Tei-Hung; Chen, Wei-Jiun

PATENT ASSIGNEE(S): Taiwan

SOURCE: U.S. Pat. Appl. Publ., 14pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007262703	A1	20071115	US 2007-749131	20070515
PRIORITY APPLN. INFO.:			US 2006-800020P	P 20060515

AB N-Aryl-substituted 2,2'-(Ar₂NR)-9,9'-spirobifluorenes [1; Ar = optionally polycyclic fused (hetero)aryl, R = bond, optionally polycyclic fused (hetero)arylene], useful as hole-transporting materials for organic electroluminescent devices (OLEDs) were prepared by Pd-catalyzed arylation of 9,9'-spirobifluorene-2,2'-diamines with aryl halides ArX (X = Cl, Br, I; R = bond) or by Suzuki coupling of 2,2'-dihalo-9,9'-spirobifluorenes with boronic acids ArB(OH)₂ (R = arylene).
In addition, the present invention discloses organic light emitting devices comprising hole transporting material comprising 2,2'-bis(N,N-disubstituted amino)-9,9'-spirobifluorenes. In an example, reaction of 10 mmol of 9,9'-spirobifluorene-2,2'-diamine with 60 mmol of PhI in the presence of 0.5 mmol of Pd(OAc)₂ and 1 mmol of PtBu₃ in 100 mL of toluene at reflux overnight gave N,N,N',N'-tetraphenyl-9,9'-spirobifluorene-2,2'-diamine (1a) with 70% yield. In another example, compound 1a exhibited hole mobility of 1·10⁻⁴ cm² V⁻¹ at a potential of 400 V₂ cm⁻².

L11 ANSWER 6 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 1
 ACCESSION NUMBER: 2007:1255503 CAPLUS
 TITLE: High Glass-Transition Temperature and Organosoluble Novel Arylene Ether Polymers
 AUTHOR(S): Huang, W. Y.; Liaw, B. R.; Chang, M. Y.; Han, Y. K.; Huang, P. T.
 CORPORATE SOURCE: Institute of Electro-Optical Engineering and Semiconductor Technology Research and Development Center, National Sun Yat-Sen University, Kaohsiung, Taiwan
 SOURCE: Macromolecules (Washington, DC, United States) (2007), 40(24), 8649-8657
 CODEN: MAMOBX; ISSN: 0024-9297
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Three novel 2-trifluoromethyl-activated bisfluoro monomers have been synthesized successfully using a Suzuki-coupling reaction of 4-fluoro-3-trifluoromethyl Ph boronic acid with 4,4'-dibromo-p-terphenyls with varied Ph substitution on the middle phenylene ring. Three monomers were converted to a series of Ph substituted poly(arylene ether)s by nucleophilic displacement of the fluorine atoms on the terminal benzene ring, with several bisphenols. The polymers obtained by displacement of the fluorine atoms exhibit weight-average mol. weight up to 1.44 + 105 g/mol in GPC. Thermal anal. studies indicated that these polymers did not show melting endotherms but did show ultrahigh glass-transition temperature (T_g) values up to 332 °C in differential scanning calorimetry (DSC) and outstanding thermal stability up to 671 °C for 5% weight loss in TGA under nitrogen atmospheric. The polymers are soluble in a wide range of organic solvents: THF (THF), chloroform (CHCl₃), N-methylpyrrolidone (NMP), dimethylacetamide (DMAc), DMF (DMF), toluene, etc., and are insol. in DMSO (DMSO) and acetone at room temperature. Transparent and flexible films were easily prepared by solution casting from a chloroform solution of each of the polymers. The UV absorption spectra of thin films showed no absorption in the visible light region of the spectrum, suggesting a good application to optical transparent materials in the visible light region of the spectrum.

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2007:1292489 CAPLUS
TITLE: Novel poly(arylene ether)s containing
multi-substituted pentaphenylene moiety
AUTHOR(S): Liaw, B. R.; Huang, W. Y.; Huang, P. T.; Chang, M. Y.;
Han, Y. K.
CORPORATE SOURCE: Institute of Electro-Optical Engineering and
Semiconductor Technology Research and Development
Center, National Sun Yat-Sen University, Kaohsiung,
Taiwan
SOURCE: Polymer (2007), 48(24), 7087-7097
CODEN: POLMAG; ISSN: 0032-3861
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Three novel 2-trifluoromethyl-activated bisfluoro monomers have been synthesized successfully using a Suzuki-coupling reaction of 4-fluoro-3-trifluoromethyl Ph boronic acid with 4,4'-dibromo-p-terphenyls with varied Ph substitution on the middle phenylene ring. Three monomers were converted to a series of Ph substituted poly(arylene ether)s by nucleophilic displacement of the fluorine atoms on the terminal benzene ring with several bisphenols. The polymers obtained by displacement of the fluorine atoms exhibit weight-average mol. weight up to 2.25×10^5 g/mol in GPC. Thermal anal. studies indicated that these polymers did not show melting endotherms but did show ultrahigh Tg values up to 334 °C in DSC and outstanding thermal stability up to 671 °C for 5% weight loss in TGA under nitrogen atmospheric. The polymers are soluble in a wide range of organic solvents:

THF, CHCl₃, NMP, DMAc, DMF, toluene, etc., and are insol. in DMSO and acetone at room temperature. Transparent and flexible films were easily prepared

by solution casting from chloroform solution of each of the polymers. The UV absorption spectra of thin films showed no absorption in the visible light region of the spectrum, suggesting a good application to optical transparent materials in the visible light region of the spectrum.

L11 ANSWER 8 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2007:946078 CAPLUS
DOCUMENT NUMBER: 147:449156
TITLE: Synthesis and characterization of novel poly(arylene ether)s based on 9,10-bis-(4-fluoro-3-trifluoromethylphenyl) anthracene and 2,7-bis-(4-fluoro-3-trifluoromethylphenyl) fluorene
AUTHOR(S): Salunke, Arun K.; Ghosh, Anindita; Banerjee, Susanta
CORPORATE SOURCE: Synthetic Chemistry Division, Defence Research and Development Establishment, Gwalior, 474002, India
SOURCE: Journal of Applied Polymer Science (2007), 106(1), 664-672
CODEN: JAPNAB; ISSN: 0021-8995
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two new bisfluoro monomers 9,10-bis-(4-fluoro-3-trifluoromethylphenyl)anthracene and 2,7-bis-(4-fluoro-3-trifluoromethylphenyl)fluorene were synthesized by the cross-coupling reaction of 2-fluoro-3-(trifluoromethyl)phenyl boronic acid with 9,10-dibromoanthracene and 2,7-dibromofluorene, resp. These two bisfluoro compds. were used to prepare several poly(arylene ether)s by aromatic nucleophilic displacement of fluorine with various bisphenols; such as bisphenol A, bisphenol 6F, bishydroxybiphenyl, and 9,9-bis-(4-hydroxyphenyl)-fluorene. The products

obtained by displacement of the fluorine atoms exhibit weight-average molar masses up to 1.5×10^5 g mol⁻¹ and number average mol. weight up to 6.8×10^4 g mol⁻¹ in GPC. These poly(arylene ether)s show very high thermal stability even up to 490° for 5% weight loss occurring at this temperature in TGA in synthetic air and showed glass transition temps. up to 310°. All the polymers are soluble in a wide range of organic solvents, e.g., CHCl₃, THF, NMP, and DMF. Films cast from DMF solution are brittle in nature.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1123628 CAPLUS

DOCUMENT NUMBER: 145:455029

TITLE: Preparation of substituted N-(pyrazin-2-yl)benzenesulfonamides and related compounds as CRTH2 modulators, particularly inhibitors, and their use for treating allergic and immune diseases, inflammatory dermatosis and neurodegenerative disorders

INVENTOR(S): Page, Patrick; Schwarz, Matthias; Seville, Eric; Cleve, Christophe; Merlot, Cedric; Maio, Maurizio

PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles

SOURCE: PCT Int. Appl., 112pp.

CODEN: PIXXD2

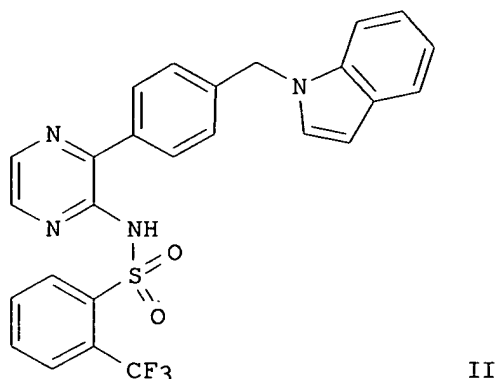
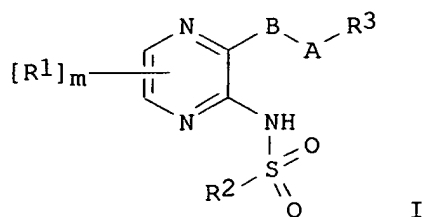
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006111560	A2	20061026	WO 2006-EP61706	20060420
WO 2006111560	A3	20070503		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006237365	A1	20061026	AU 2006-237365	20060420
CA 2601979	A1	20061026	CA 2006-2601979	20060420
IN 2007DN07509	A	20071109	IN 2007-DN7509	20070928
PRIORITY APPLN. INFO.:			EP 2005-103254	A 20050421
			US 2005-675381P	P 20050427
			WO 2006-EP61706	W 20060420
OTHER SOURCE(S):		MARPAT 145:455029		
GI				



AB The invention is related to the preparation of title compds. I [A = (CH₂)_n, CO, CONH, O, etc.; n = 0-4; m = 1-2; B = alkynylene, hetero/cycloalkylene, arylene, monocyclic heteroarylene; R₁ = H, alkyl; R₂ = (un)substituted alkyl, hetero/aryl, hetero/cycloalkyl; R₃ = (un)substituted alkyl, alkyl/aryl, alkyl/heteroaryl, hetero/cycloalkyl], their stereoisomers, pharmaceutically acceptable salts and pharmaceutically active derivs., and their use for the manufacture of a medicament for treating and/or preventing allergic and immune diseases, inflammatory dermatosis and neurodegenerative disorders. Specifically, the present invention is related to the use of 2,3 substituted pyrazine sulfonamides for the modulation, notably the inhibition, of G protein-coupled receptor CRTH2 activity. Thus, Pd-coupling of 2-chloro-N-[3-[4-(hydroxymethyl)phenyl]pyrazin-2-yl]benzenesulfonamide (preparation given) with [4-(hydroxymethyl)phenyl]boronic acid, chlorination of the alc. with thionyl chloride, and N-alkylation of 1H-indole in the presence of NaH in DMF gave pyrazine II. In a radioligand binding assay, I showed a significant inhibition of the binding of [3H]PGD₂ to CRTH2 with K_i values ranging from 0.38 μM to 5.85 μM except for one compound

L11 ANSWER 10 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:733294 CAPLUS

DOCUMENT NUMBER: 145:188847

TITLE: Thienopyrroles as mPGES-1 inhibitors, and their preparation, pharmaceutical compositions and their use in treatment of inflammation

INVENTOR(S): Pelcman, Benjamin; Olofsson, Kristofer; Arsenjans, Pavels; Ozola, Vita; Suna, Edgars; Kalvins, Ivars

PATENT ASSIGNEE(S): Biolipox AB, Swed.

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

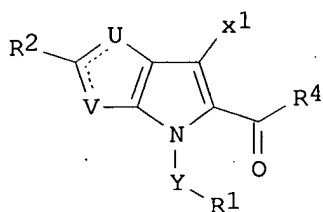
DOCUMENT TYPE: Patent

LANGUAGE: English

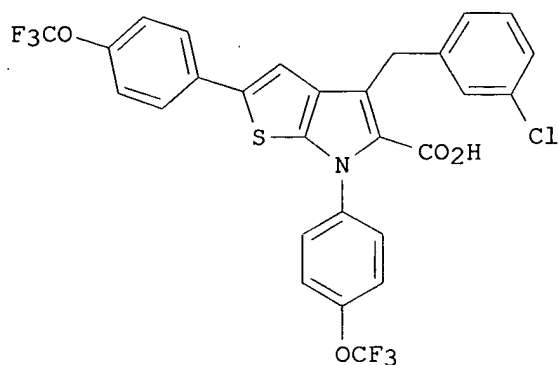
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006077412	A1	20060727	WO 2006-GB188	20060119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM CA 2594665 A1 20060727 CA 2006-2594665 20060119 EP 1844051 A1 20071017 EP 2006-703895 20060119 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU PRIORITY APPLN. INFO.: US 2005-644559P P 20050119 WO 2006-GB188 W 20060119 OTHER SOURCE(S): MARPAT 145:188847 GI				



I



II

AB Compds. of formula I, and pharmaceutically-acceptable salts thereof, which are useful in the treatment of diseases in which inhibition of the activity of a member of the MAPEG family is desired and/or required, and particularly in the treatment of inflammation, is disclosed. Compds. of formula I wherein one of U and v is S and the other is CR3; when U is S the dotted line between U and CR2 is a single bond and between V and CR2 is a double bond, when V is S dotted line between V and CR2 is a single bond, and between U and CR2 is a double bond; R2 and R3 are independently -D-E, H, halo, NO2, CN, or (un)substituted C1-6 alkyl; D is O,

(un)substituted methylene, C2-4 alkylene, CO, S, SO, or SO₂; R₁ is (un)substituted (hetero)aryl; E is (un)substituted (hetero)aryl or (un)substituted heterocycle; X₁ is H, halo, (un)substituted amido, aminocarbonyl, ethers, acyl, etc.; Y is a bond, (un)substituted C1-8 alkylene, or (un)substituted C2-8 heteroalkylene, etc.; R₄ is OH and derivs., or NH₂ and derivs.; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by benzylation of 2-bromo-6-iodothieno[3,2-b]pyrrole-5-carboxylic acid Et ester with 3-chlorobenzyl bromide; the resulting 2-bromo-4-(3-chlorobenzyl)-6-iodothieno[3,2-b]pyrrole-5-carboxylic acid Et ester underwent coupling with 4-(trifluoromethoxyphenyl)boronic acid to give 4-(3-chlorobenzyl)-2,6-bis(4-trifluoromethoxyphenyl)thieno[3,2-b]pyrrole-5-carboxylic acid Et ester, which underwent hydrolysis to give compound II. All the invention compds. were evaluated for their mPGES-1 inhibitory activity. The tested compds. exhibited 50% inhibition of mPGES-1 at a concentration of 10 µM or below. Example compound II showed and IC₅₀ value of 390 nM. These compds. may be useful in treatment of inflammations.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:577803 CAPLUS

DOCUMENT NUMBER: 145:62687

TITLE: Preparation of N-acylanthranilic acid derivatives or salts thereof as inhibitor for production of matrix metalloproteinase (MMP-13)

INVENTOR(S): Yokotani, Junichi; Taniguchi, Yoichi; Hara, Eiji; Akitsu, Hitoshi; Tada, Yukie

PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 278 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

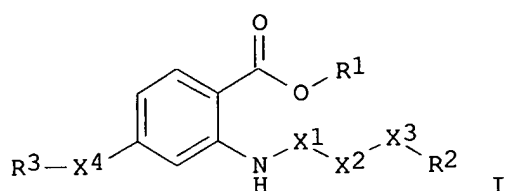
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006062093	A1	20060615	WO 2005-JP22367	20051206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005312721	A1	20060615	AU 2005-312721	20051206
CA 2588633	A1	20060615	CA 2005-2588633	20051206
EP 1820795	A1	20070822	EP 2005-814561	20051206
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2007KN01796	A	20070810	IN 2007-KN1796	20070521
KR 2007100888	A	20071012	KR 2007-715347	20070704
PRIORITY APPLN. INFO.:			JP 2004-353725	A 20041207
			WO 2005-JP22367	W 20051206
OTHER SOURCE(S):		MARPAT 145:62687		

GI



AB The title compds. [I; wherein R1 = H, a carboxy-protecting group; R2 = each (un)substituted Ph, cycloalkyl, or heterocyclic group; R3 = each (un)substituted Ph, cycloalkyl, cycloalkenyl, or monocyclic or bicyclic heterocyclic group; X1 = CO or SO2; X2 = a bond, each (un)substituted alkylene, alkenylene, or alkynylene; X3 = O, S, a bond; X4 = -X5-X6- or -X6-X5- (the left side bond is linked to R3) (wherein X5 = O, S, (un)protected NH, SO, SO2, a bond; X6 = each (un)substituted alkylene, alkenylene, or alkynylene)] or salts thereof are prepared. These compds. have an MMP-13 production inhibitory activity and are hence useful as therapeutic agents for articular rheumatism, osteoarthritis, cancer, etc. Thus, Me 2-(benzoylamino)-4-bromobenzoate was coupled with benzofuran-2-boronic acid in the presence of polymer-supported Bis(acetato)bis(triphenylphosphine)palladium and Na2CO3 in N,N-dimethylacetamide at 90° for 11 h followed by saponification and acidification with 1.0 M aqueous HCl solution to give 2-(benzoylamino)-4-(3-methoxyphenyl)benzoic acid (II). II and 2-(benzoylamino)-4-((E)-2-(3-chlorophenyl)vinyl)benzoic acid inhibited the IL-1β-stimulated production of MMP-13 in human cartilage-derived SW1353 cells by 95 and 99%, resp., at 30 μM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:496026 CAPLUS

DOCUMENT NUMBER: 145:8464

TITLE: Preparation of substituted amino carboxylic acids

INVENTOR(S): Whitehouse, Darren; Hu, Shaojing; Van Zandt, Michael C.; Parker, Garrett

PATENT ASSIGNEE(S): The Institutes for Pharmaceutical Discovery, LLC, USA

SOURCE: PCT Int. Appl., 327 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006055725	A2	20060526	WO 2005-US41706	20051117
WO 2006055725	A3	20060928		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, NZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,				

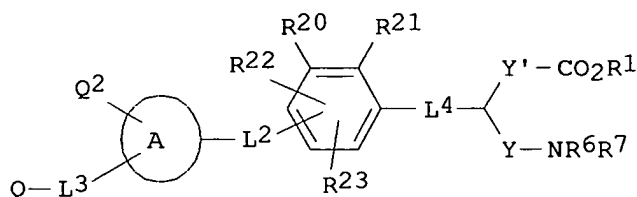
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

AU 2005307735	A1	20060526	AU 2005-307735	20051117
CA 2588776	A1	20060526	CA 2005-2588776	20051117
EP 1814869	A2	20070808	EP 2005-826667	20051117

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
 BA, HR, MK, YU

US 2006122223	A1	20060608	US 2005-283456	20051118
PRIORITY APPLN. INFO.:			US 2004-628977P	P 20041118
			WO 2005-US41706	W 20051117

OTHER SOURCE(S): MARPAT 145:8464
 GI



I

AB The invention relates to compds. I [R1 is H, alkyl, phenylalkyl or alkenyl; R6, R7 are independently H, alkyl, arylalkyl or (un)substituted alkanoyl; R20, R21, R22, R23 are independently H, arylalkoxy, arylalkyl, halogen, alkyl, OH, alkoxy, NO2, NH2, alkyl- or aryl-substituted amino or aryl(alkyl)sulfonylamino, in which the aryl group is optionally substituted; L2 is a bond, oxyalkylene or iminocarbonylalkylene; L3 is a bond, oxyalkylene, alkylene, alkenylene, CO or CONH; L4 is alkylene, thio-, sulfinyl- or sulfonylalkenylene, oxyalkylene, etc.; the A ring (un)substituted Ph, naphthyl, isoindolyl, indolyl, pyridyl, thiazolyl, pyrimidyl, benzofuranyl, benzimidazolyl or 1H-indazolyl; Q is (un)substituted aryl or heteroaryl; Q2 is H or aryl; Y, Y' are independently a bond or alkylene] and their pharmaceutically-acceptable salts, which are useful in the treatment of metabolic disorders related to insulin resistance, leptin resistance or hyperglycemia. These compds. include inhibitors of protein tyrosine phosphatases, in particular PTP-1B, that are useful in the treatment of diabetes and other PTP-mediated diseases such as cancer and neurodegenerative diseases. Thus, (R)-2-[(tert-butoxycarbonyl)amino]-3-(4'-dibenzofuran-4-ylbiphenyl-4-ylmethylthio)propionic acid was prepared by coupling of 4'-dibenzofuran-4-ylbiphenyl-4-ylmethyl mesylate with N-(tert-butoxycarbonyl)-L-cysteine Me ester and saponification. The mesylate was prepared by reaction of dibenzofuran-4-boronic acid with 1-bromo-4-iodobenzene and then 4-formylphenylboronic acid, followed by borohydride reduction. Test compds. of the invention are evaluated for their in vitro inhibitory activity against recombinant human PTP1B with phosphotyrosyl dodecapeptide TRDI(P)YETD(P)Y(P)YRK. Particularly preferred compds. exhibit IC50 values < 300 nM.

L11 ANSWER 13 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:437082 CAPLUS
 DOCUMENT NUMBER: 144:468021
 TITLE: Preparation of indole alkylboronic acids as inhibitors of TNF- α production

INVENTOR(S): Didsbury, John R.; Dyakonov, Tatyana; Haydar, Simon N.; Jones, Michael L.; Li, Francine F.; Markworth, Christopher J.; Mathew, Jessymol; Schoenen, Frank J.; Scicinski, Jan J.; Middlemiss, David N.

PATENT ASSIGNEE(S): Nuada, LLC, USA

SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006050236	A2	20060511	WO 2005-US39204	20051027
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2004-624055P P 20041101

OTHER SOURCE(S): CASREACT 144:468021; MARPAT 144:468021

AB Title compds., 1-, 2- or 3-(Z-Y-X-) substituted indole derivs., [wherein X = CO, SO₂ or a covalent bond; Y = (cyclo)alkyl, alkenyl, aryl, etc.; Z = B(OR₁)OR₂, CON(R₁)OR₂ or N(OR₁)COR₂; R₁, R₂ = independently H, alkyl or R₁R₂ = alkylene] were prepared as inhibitors of TNF- α production and phosphodiesterase (PDE). For example, reaction of 5-cyanoindole with 5-bromopentylboronic acid gave 5-(5-cyano-1H-indol-1-yl)pentylboronic acid (I) in 52% yield. I showed inhibition of TNF- α production with IC₅₀ value of 750 nM. Thus, the indole boronic acid derivs. and their pharmaceutical compns. are useful for inhibiting inflammatory cytokines such as tumor necrosis factor alpha (TNF- α) in a subject in need thereof.

L11 ANSWER 14 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:343042 CAPLUS

DOCUMENT NUMBER: 144:390934

TITLE: Preparation of aminopyrimidines as JNK inhibitors

INVENTOR(S): Ratcliffe, Andrew James; Alam, Mahbub; Beevers, Rebekah Elisabeth; Davenport, Richard John; Davies, Natasha; Haughan, Alan Findlay; Jones, Mark William; Lowe, Christopher; Perry, Benjamin Garfield; Phillips, David Jonathan; Pitt, William Ross; Sharpe, Andrew

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006038001	A1	20060413	WO 2005-GB3827	20051004
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,			

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

GB 2004-22284

A 20041006

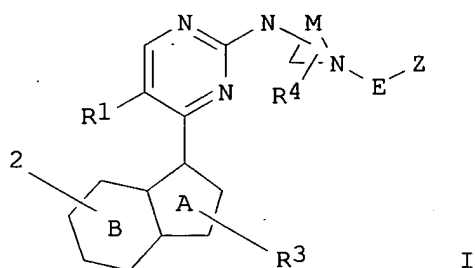
GB 2005-9642

A 20050511

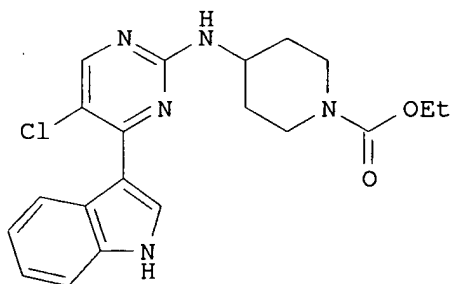
OTHER SOURCE(S):

MARPAT 144:390934

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I



II

AB Title compds. I [A = pyrrole, pyrazole, imidazole or triazole ring; B = benzene, pyridine or pyrimidine ring; M = residue of an azetidine, pyrrolidine or piperidine ring; E = a covalent bond or (un)substituted straight or branched alkylene; Z = H, CHO, CONH2 and derivs., CO2H and derivs., (un)substituted Ph, heteroaryl, heterocycloalkyl, etc.; R1, R2 = independently H, halo, CN, NO2, OCF3, alkyl, alkoxy, etc.; R3 = H, alkyl, SO2H and derivs., etc.; R4 = H, alkoxy, oxo, CO2H and derivs., etc.; and their pharmaceutically acceptable salts, solvates or N-oxides] were prepared as JNK inhibitors. Thus, coupling 2,4,5-trichloropyrimidine with [1-(phenylsulfonyl)-1H-indol-3-yl]boronic acid, and amination of the 2-chloropyrimidine intermediate with Et 4-amino-1-piperidinecarboxylate gave aminopyrimidine II•HCO2H. I possessed IC50 values for inhibition of human JNK1 and/or JNK2 and/or JNK3 enzyme activity of 5 μM or better. I are useful for treating autoimmune and inflammatory disorders, vascular, neurodegenerative, metabolic and ophthalmic disorders, neoplasm and pain.

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2006:196056 CAPLUS

DOCUMENT NUMBER: 144:254008

TITLE: Preparation of 2-phenylpyridine compounds as xanthine oxidase inhibitors

INVENTOR(S): Miyata, Junji; Naito, Ryo; Kawakami, Masakatsu; Asano, Toru

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

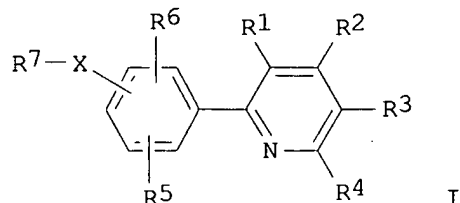
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006022374	A1	20060302	WO 2005-JP15549	20050826
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2578168	A1	20060302	CA 2005-2578168	20050826
EP 1783116	A1	20070509	EP 2005-781016	20050826
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101010300	A	20070801	CN 2005-80028792	20050826
KR 2007045272	A	20070502	KR 2007-704183	20070222
US 2007275950	A1	20071129	US 2007-661284	20070227
IN 2007DN01618	A	20070803	IN 2007-DN1618	20070228
PRIORITY APPLN. INFO.:			JP 2004-249275	A 20040827
			JP 2005-78222	A 20050317
			WO 2005-JP15549	W 20050826

OTHER SOURCE(S): MARPAT 144:254008

GI



AB Title compds. I [R1 = H, halo; R2 = -CO₂H, -CO₂-alkyl, tetrazole; R3, R4 = H, halo, alkyl; R5 = cyano, nitro, bromo, etc.; R6 = H, alkyl, halo, etc.; X = -O-, -NR₈-, -S-; R5 and -X-R7 are substituted at m- or p-position to pyridyl group; R8 = H, alkyl; R7 = alkyl, alkenyl, Y-Ph, etc.; Y = bond, alkylene, alkenylene, etc.] were prepared For example, Pd(PPh₃)₄ catalyzed coupling reaction of (3-cyano-4-isobutoxyphenyl) boronic acid with 2-chloroisonicotinic acid Me

ester followed by hydrolysis using NaOH afforded 2-(3-cyano-4-isobutoxyphenyl)isonicotinic acid (II). In xanthine oxidase inhibition assays, the IC50 value of compound II was 3.6 nM. Compds. I are claimed useful for the treatment of hyperuricemia, gout, etc.

REFERENCE COUNT: 104 THERE ARE 104 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:193324 CAPLUS

DOCUMENT NUMBER: 144:273994

TITLE: Preparation of diarylsulfones as 5-HT2A antagonists for treating CNS disorders

INVENTOR(S): Castro Pineiro, Jose Luis; Cooper, Laura Catherine; Gilligan, Myra; Humphries, Alexander Charles; Hunt, Peter Alan; Ladduwahetty, Tamara; MacLeod, Angus Murray; Merchant, Kevin John; Van Niel, Monique Bodil; Wilson, Kevin

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

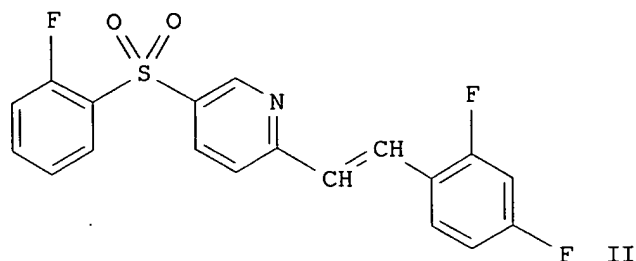
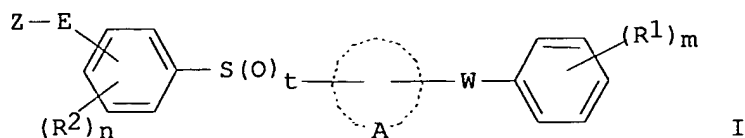
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006021805	A1	20060302	WO 2005-GB3352	20050826
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005276233	A1	20060302	AU 2005-276233	20050826
CA 2577837	A1	20060302	CA 2005-2577837	20050826
US 2006052445	A1	20060309	US 2005-212789	20050826
US 7217740	B2	20070515		
EP 1807393	A1	20070718	EP 2005-775744	20050826
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101014565	A	20070808	CN 2005-80028279	20050826
IN 2007DN01210	A	20070803	IN 2007-DN1210	20070214
US 2007203205	A1	20070830	US 2007-796389	20070427
PRIORITY APPLN. INFO.:			GB 2004-19192	A 20040827
			US 2005-212789	A3 20050826
			WO 2005-GB3352	W 20050826

OTHER SOURCE(S): MARPAT 144:273994

GI



AB Compds. of formula I (wherein m = 0-3; n = 0-2; t = 1-2; A = (un)substituted Ph or 5-6-membered heteroarom. ring; W = -CR3R4-CR5R6, -CR3=CR5- or -C-C- where R3, R4, R5, and R6 = H, OH and F; E = a bond or (un)substituted alkylene chain; Z = H, halogen, CN, nitro, CF3, OCF3, Ra, ORa, SRa, etc.; Ra = H or (un)substituted hydrocarbon group; R1 = halogen, CN, CF3, OCF3, C1-6-alkyl, etc.; and R2 = halogen, CN, CONH2, C1-4alkyl, C1-4alkoxy or with E-Z forms a fused ring) are potent and selective antagonists of 5-HT2A receptor, and hence useful in treatment of a variety of adverse conditions of the CNS. Preparation of I is exemplified; no biol. data is given. For example, II is prepared from 2-chloro-5-[(2-fluorophenyl)sulfonyl]pyridine (preparation given) and [(E)-2-(2,4-difluorophenyl)vinyl]boronic acid (preparation given).

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1253226 CAPLUS

DOCUMENT NUMBER: 146:27725

TITLE: New sulfonylindoline derivative LXR receptor modulators, their preparation, and their therapeutic use

INVENTOR(S): Lebreton, Luc; Dumas, Christine; Massardier, Christine; Bondoux, Michel

PATENT ASSIGNEE(S): Laboratoires Fournier S.A., Fr.

SOURCE: Fr. Demande, 120pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2886293	A1	20061201	FR 2005-5432	20050530
FR 2886293	B1	20070824		
WO 2007000550	A2	20070104	WO 2006-FR50487	20060529
WO 2007000550	A3	20070222		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,

SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

FR 2005-5432

A 20050530

OTHER SOURCE(S):

MARPAT 146:27725

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is related to the preparation of sulfonylindolines I [R1 = H, halo, alkyl, alkoxy, CF3, OCF3; R2 = H, halo; R3 = H, CF3, provided that R1 and R3 are not simultaneously H; R4 = H, alkoxy; Y = (CH2)nW, (un)substituted cyclo/alkylene; W = O, S; n = 2-4; Z = cyclo/alkyl, CF3, COOR, CON(R)2, (un)substituted hetero/aryl, heterocyclyl; R = H, alkyl], and their pharmaceutically acceptable salts, and to their use as LXR receptor modulators for preventing or treating neurodegenerations (no data), cardiovascular and inflammatory diseases (no data), hypercholesterolemia (no data), dyslipidemia (no data), obesity (no data) and diabetes (no data). Thus, coupling of 2,3-dihydro-(2S)-1H-indole-1,2-dicarboxylic acid 1-(1,1-dimethylethyl) ester with 2-fluorobenzeneethanamine, Boc-deprotection, reaction of indole with 4-iodobenzenesulfonyl chloride, and Pd-coupling with [4-(trifluoromethyl)phenyl]boronic acid gave sulfonylindoline II. In co-transfection assays, indolines I displayed an EC50 < 1 µM.

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2006:1193522 CAPLUS

DOCUMENT NUMBER: 146:121600

TITLE: Carbolithiation of Diphenylacetylene as a Stereoselective Route to (Z)-Tamoxifen and Related Tetrasubstituted Olefins

AUTHOR(S): McKinley, Neola F.; O'Shea, Donal F.

CORPORATE SOURCE: Centre for Synthesis and Chemical Biology, School of Chemistry and Chemical Biology, University College Dublin, Belfield, Dublin, 4, Ire.

SOURCE: Journal of Organic Chemistry (2006), 71(25), 9552-9555
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:121600

AB Carbolithiation of diphenylacetylene can be exploited to generate (E)-1-lithio-1,2-diphenylalkyl-1-enes which can be reacted in situ with triisopropylborate to stereoselectively provide (E)-1,2-diphenyl-1-alkylene boronic acids. These tetrasubstituted vinylboronic acids served as versatile intermediates for the generation of tetrasubstituted olefins with retention of stereochem. The application of this method for the stereoselective synthesis of (Z)-tamoxifen and related analogs is described.

REFERENCE COUNT:

26

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:1350974 CAPLUS

DOCUMENT NUMBER: 144:88408

TITLE: Preparation of cyclometalated organometallic compounds and devices made with such compounds

INVENTOR(S): Herron, Norman; Radu, Nora Sabina; Smith, Eric Maurice

PATENT ASSIGNEE(S): E.I. Dupont de Nemours and Company, USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

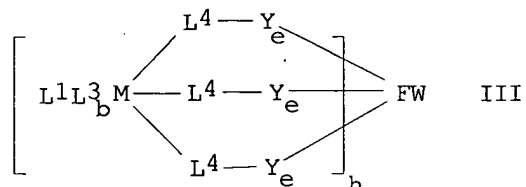
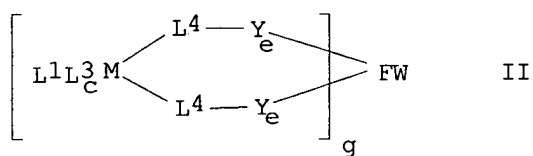
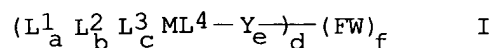
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005124889	A1	20051229	WO 2005-US20412	20050608
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1754267	A1	20070221	EP 2005-759481	20050608
R: DE				
KR 2007033350	A	20070326	KR 2006-725982	20061208
PRIORITY APPLN. INFO.:			US 2004-545596P	P 20040609
			WO 2005-US20412	W 20050608
OTHER SOURCE(S):			CASREACT 144:88408; MARPAT 144:88408	
GI				



AB The present invention is generally directed to organometallic compds. I, II, or III and devices having a layer including at least one of these compds.: I, II, III (L1 = aryl-N-heterocycle, heteroaryl-N-heterocycle; L2 = anionic ligand; L3 = nonionic ligand; L4 = selected from L1 and L2; M =

Re, Ru, Os, Rh, Ir, Pd, Pt, Au; FW = a moiety capable of bearing at least two (L4-Ye) groups; Y = alkylene, heteroalkylene, alkenylene, heteroalkenylene, and alkynylene; a = 1-2; b = 0-1; c = 0-2; d = 1-8; e = 0-1; f = 0-1; g = 1-4; h = 1-2, with the proviso that a, b, and c are selected such that the metal is tetracoordinate when M is Au, Pd, or Pt, and the metal is hexacoordinate when M is Re, Ru, Os, Rh, or Ir). Thus, Pd(PPh₃)₄-catalyzed reaction of iridium(III) tris(2-(5'-bromophenyl)pyridinato-N,C2') with 4-(n-butoxyphenyl)boronic acid in THF/PhMe in the presence of K₂CO₃ gave 27% title cyclometalated complex.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 20 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1328404 CAPLUS

DOCUMENT NUMBER: 144:69718

TITLE: Preparation of thiophene-2,5-dicarboxylic acid amide hydroxyamides as inducers of histone acetylation for treatment of cancer.

INVENTOR(S): Fertig, Georg; Herting, Frank; Koerner, Matthias; Kubbies, Manfred; Limberg, Anja; Reiff, Ulrike; Tibes, Ulrich

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

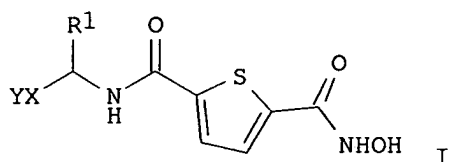
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005121134	A1	20051222	WO 2005-EP6292	20050613
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2566525	A1	20051222	CA 2005-2566525	20050613
EP 1778683	A1	20070502	EP 2005-748028	20050613
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
CN 1980920	A	20070613	CN 2005-80018281	20050613
US 2007232602	A1	20071004	US 2006-628771	20061205
PRIORITY APPLN. INFO.:			EP 2004-13861	A 20040614
			WO 2005-EP6292	W 20050613

OTHER SOURCE(S): MARPAT 144:69718

GI



AB Title compds. (I; R1 = alkyl, haloalkyl; X = phenylene, heteroarylene; Y = carbocyclyl, heterocyclyl, heteroaryl, substituted Ph), were prepared Thus, (PPh₃)₄Pd, (R)-5-[1-(4-bromophenyl)ethylcarbamoyl]thiophene-2-carboxylic acid Me ester (preparation given), thiophene-2-boronic acid, and Cs₂CO₃ were heated in dimethoxyethane/EtOH/H₂O at 75-80° to give (R)-5-[1-(4-thiophen-2-ylphenyl)ethylcarbamoyl]thiophene-2-carboxylic acid Me ester. The latter was stirred with NH₂OH and KOH in MeOH to give (R)-thiophene-2,5-dicarboxylic acid 2-hydroxyamide 5-[[1-(4-thiophen-2-ylphenyl)ethyl]amide]. I showed IC₉₀ = 0.07-1.12 μM against HT-29 human colon carcinoma cells.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:472106 CAPLUS

DOCUMENT NUMBER: 143:8902

TITLE: Halogenated bisdiarylamino polycyclic aromatic compound-based polymers for light emitting diode devices

INVENTOR(S): Hudack, Michelle L.; Yu, Wanglin; Inbasekaran, Michael; Wu, Weishi; Welsh, Dean M.; O'Brien, James J.

PATENT ASSIGNEE(S): Dow Global Technologies Inc., USA

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049546	A1	20050602	WO 2004-US36707	20041103
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
GB 2422838	A	20060809	GB 2006-9500	20041103
DE 112004002193	T5	20061012	DE 2004-112004002193	20041103
CN 1894199	A	20070110	CN 2004-80033613	20041103
JP 2007512249	T	20070517	JP 2006-539638	20041103
US 2007126345	A1	20070607	US 2006-579215	20060922
PRIORITY APPLN. INFO.:			US 2003-520070P	P 20031114
			WO 2004-US36707	W 20041103

OTHER SOURCE(S): MARPAT 143:8902

AB Title polymers are prepared from halogenated compds. ArAr'NZNArAr', wherein

Ar, Ar' = independently (un)substituted aryl groups and Z = polycyclic arylene group (≥ 1 of the Ar' groups = haloaryl group).
 Devices using polymers prepared from the halogenated compds. exhibit improved performance and longer lifetime, presumably as a result of the presence of the geometrically constrained diarylaminopolycyclic aromatic groups in the polymer backbone. Thus, 2,7-dibromo-9,9-dioctylfluorene 27.4, tri-o-tolylphosphine 2.435, and 4-methyldiphenylamine 22.91 g were refluxed in the presence of 0.90 g palladium acetate, 12.5 of the resulting 2,7-bis(4-methyldiphenylamino)-9,9-dioctylfluorene was treated with 5.91 g N-bromosuccinimide to give 2,7-bis(4-methyl-4'-bromo-diphenylamino)-9,9-dioctylfluorene, 0.73 g of which was polymerized with 2.85 g 2,7-bis(1,3,2-dioxaborolan-2-yl)-9,9-dioctylfluorene and 3.06 g 2,7-dibromo-9,9-bis(4-hexyloxyphenyl)fluorene in the presence of 0.91 g Aliquat 336 (phase transfer agent), 5 mg trans-dichloro-bis(triphenylphosphine)palladium, and 2 M sodium carbonate for 4.8 h, and 0.22 g Ph boronic acid was added therein and stirred to give a copolymer with Mn 103,867 and polydispersity 2.92, which was fabricated into a blue light emitting device, showing average brightness 200 cd/m² at 4.43 V and average light efficiency 2.254 cd/A.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1265213 CAPLUS

DOCUMENT NUMBER: 144:22810

TITLE: Preparation of pyrrolylindoles and related compounds as anticancer and antiviral drugs.

INVENTOR(S): Dairi, Kenza; Lavallee, Jean-Francois; Doyle, Terrence W.

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 66 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005267073	A1	20051201	US 2005-140367	20050527
WO 2005117908	A2	20051215	WO 2005-US19222	20050526
WO 2005117908	A3	20060112		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

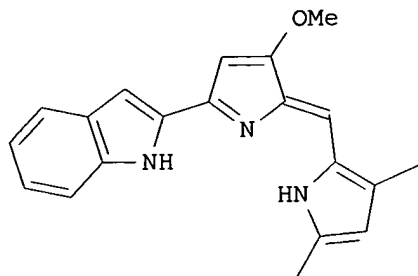
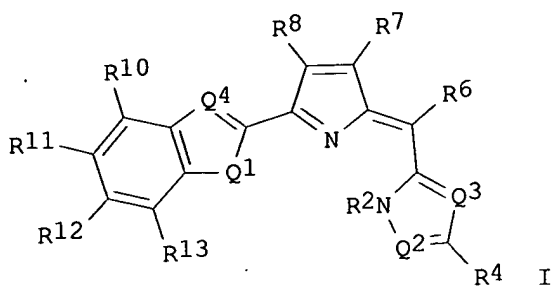
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PRIORITY APPLN. INFO.:

US 2004-575689P P 20040528

OTHER SOURCE(S): MARPAT 144:22810

GI



II

AB Title compds. [I; Q1 = O, S, NR1; Q2 = CR3, N; Q3 = CR5, N; Q4 = CR9, N; R1 = YmRa; Ra = H, OH, alkyl, alkenyl, alkynyl, cycloalkyl, Ph, naphthyl, heterocyclyl, CO(CHH2)nR14, SR14, NHCOR14, CO2R14, etc.; R2 = H, OH, alkyl; R3-R5 = YmRb; Rb = H, halo, NH2, cyano, NO2, SH, N3, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, Ph, naphthyl, heterocyclyl, etc.; R6 = H, halo, OH, NH2, alkyl, alkoxy; R7 = YmRc; Rc = alkyl, alkoxy, OCH2Ph, OH, amino, cyano, NO2, N3, alkynyl, etc.; R8 = YmRd; Rd = H, OH, halo, amino, cyano, NO2, N3, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, Ph, naphthyl, heterocyclyl, etc.; R9-R13 = YmRe; Re = H, halo, amino, alkylaminocarbonyl, cyano, NO2, N3, heterocyclyl, etc.; R14 = H, alkyl, cycloalkyl, Ph, naphthyl, heterocyclyl, alkenyl, alkynyl; R3R4, R4R5, R11R12 = atoms to form 5-9 membered rings; Y = alkylene, alkenylene, alkynylene; m = 0, 1; n = 0-6], were prepared. Thus, title compound (II) (preparation from 4-methoxypyrrolin-2-one, N-Boc-indole-2-boronic acid, and 2,4-dimethylpyrrole given) as the tartrate showed IC50 = 0.2 μ M against C-33A cervical tumor cells.

L11 ANSWER 23 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1178120 CAPLUS

DOCUMENT NUMBER: 143:440410

TITLE: Preparation of azolylpropylaminohydroxyethylbenzenesulfonylamides as β -3 agonists

INVENTOR(S): Trieselmann, Thomas; Hamilton, Bradford S.

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: U.S. Pat. Appl. Publ., 34 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

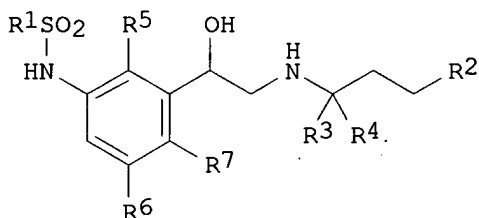
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005245526	A1	20051103	US 2005-118295	20050429
DE 102004021779	A1	20051124	DE 2004-102004021779	20040430
AU 2005240733	A1	20051117	AU 2005-240733	20050423
CA 2564980	A1	20051117	CA 2005-2564980	20050423
WO 2005108373	A1	20051117	WO 2005-EP4385	20050423

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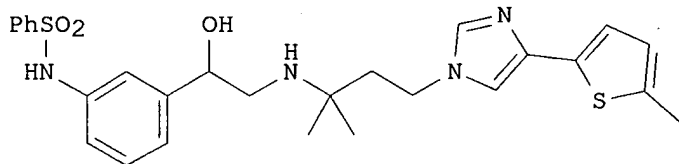
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EP 1781620	A1	20070509	EP 2005-742866	20050423
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JP 2007535512	T	20071206	JP 2007-509946	20050423
IN 2006DN06240	A	20070831	IN 2006-DN6240	20061025
MX 2006PA12534	A	20061215	MX 2006-PA12534	20061030
NO 2006005073	A	20061129	NO 2006-5073	20061103
PRIORITY APPLN. INFO.:			DE 2004-102004021779A	20040430
			WO 2005-EP4385	W 20050423

OTHER SOURCE(S): MARPAT 143:440410
GI



I



II

AB Title compds. [I; R1 = (substituted) aryl, heteroaryl; R2 = (substituted) heteroaryl, heterocyclyl; R3, R4 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl; R3R4 = C2-7 alkylene; R5, R6, R7 = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, cycloalkyl, alkylamino, arylamino, halo, cyano, etc.], were prepared as selective beta-3 agonists (no data). Thus, N-[3-[1-hydroxy-2-[3-(4-iodoimidazol-1-yl)-1,1-dimethylpropylamino]ethyl]phenyl]benzenesulfonamide (preparation given), 5-methylthiophene-2-boronic acid, [1,1'-bis(diphenylphosphino)ferrocene]palladium(II) chloride methylene chloride complex, and aqueous Na2CO3 were stirred in dioxane for 5 min. at 150° in a microwave to give 76% title compound (II).

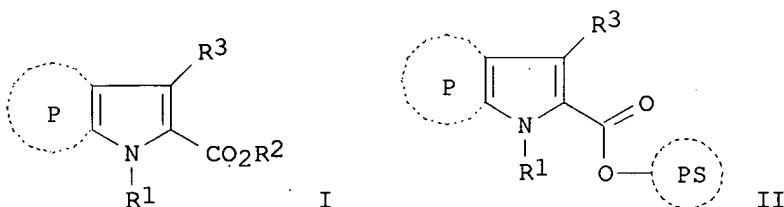
L11 ANSWER 24 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:370944 CAPLUS
 DOCUMENT NUMBER: 142:430131
 TITLE: Combinatorial library of 3-aryl-1H-indole-2-carboxylic acid
 INVENTOR(S): Cai, Jianping; Goodnow, Robert Alan

PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 19 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005089935	A1	20050428	US 2004-957159	20041001
WO 2005040073	A2	20050506	WO 2004-EP11542	20041014
WO 2005040073	A3	20050714		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-513786P P 20031023
 OTHER SOURCE(S): MARPAT 142:430131
 GI



AB There are provided combinatorial libraries that contains various different 4,5-fused-3-substituted-2-pyrrolicarboxylic acids for screening pharmacol. activity and methods of synthesizing said libraries. Combinatorial libraries of compds. (I) [wherein P = (un)substituted fused aromatic, heteroarom., or cycloaliph. ring; R2 = H or taken together with its attached oxygen atom forms a hydrolyzable ester protecting group; R1 = H, lower C1-7 alkyl, lower C3-7 alkenyl or alkynyl, mono or bicycloaliph. ring with each ring having from 3 to 7 carbon atoms, aryl containing from 1 to 3 fused aromatic rings with at least one of said rings containing 6 carbon atoms and the other rings containing 5, 6 or 7 carbon atoms, heterocycloaliph. containing 1 to 2 fused rings with each ring containing from 2 to 6 carbon atoms with one or two hetero atoms selected from the group consisting of O, S and N, monocyclic or bicyclic heteroaryl rings each containing from 1 to 5 carbon atoms with 1 to 4 hetero atoms which can be N, S or O, etc.] are prepared by Suzuki coupling reaction of polymer-supported 3-halo-1H-indole-2-carboxylic acid esters (II) (R1, P = same as above; R13 = Cl, Br, iodo; PS = polymer support) with boronic acids of formula (R10)(R11O)BR3 (R3 = same as above; R1, R11 = lower alkyl; or R1 and R11 are taken together form a lower alkylene bridge between their attached O atoms) followed by resin cleavage. Thus, iodination of 1H-indole-2-carboxylic acid with N-iodosuccinimide in

acetone at room temperature for 1 h gave 3-iodo-1H-indole-2-carboxylic acid which was loaded on to Wang resin using HATU and diisopropylethylamine in DMF, N-protected with di-tert-Bu dicarbonate, and then underwent Suzuki cross-coupling with phenylboronic acid in the presence of tetrakis(triphenylphosphine)palladium and resin-cleavage reaction by treatment with CF₃CO₂H in CH₂Cl₂ to give 3-phenyl-1H-indole-2-carboxylic acid.

L11 ANSWER 25 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:587504 CAPLUS

DOCUMENT NUMBER: 143:116182

TITLE: Preparation of 2,2'-bithiazole compound and manufacture of chiral nematic liquid crystal component from thiazole and/or bithiazole compound

INVENTOR(S): Nishikawa, Naoyuki; Nishio, Akira

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

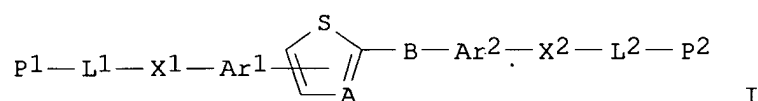
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005179589	A	20050707	JP 2003-425308	20031222
PRIORITY APPLN. INFO.:			JP 2003-425308	20031222

GI



AB A chiral nematic liquid crystal component is prepared by the following steps: (A) preparing a thiazole or bithiazole compound comprising multiple crosslinkable groups and fluorescent mesogenic groups; (B) crosslinking the thiazole or bithiazole compound to give a chiral nematic liquid crystal component, which is stabilized by crosslinking and capable of emitting circularly polarized light. The thiazole compound in (A) has the general formula I, wherein, -Ar₁-X₁-L₁-P₁ is a substituent on 4 or 5; A is -N=; Ar₁ and Ar₂ are 5- or 10-membered aromatic rings; B is a single bond, or 5- or 10-membered aromatic ring; Ar₁, Ar₂ and B can have substituents on the rings; X₁ and X₂ are single bonds, -O-, -S-, -NH-, -NR₁-, -COO-, -OCO-, -CONH- or -NHCO-; R₁ is a C₁-C₄ alkyl group; L₁ and L₂ are C₁-C₂₀ alkylene groups; P₁ and P₂ are polymerizable groups; the S contained 5 membered ring can also have substituents. Thus, (1) 2-bromothiazole (32.8 g) is mixed with palladium acetate (2.24 g), diisopropyl ethylamine (25.8 g) and brominated n-butylammonium (32.2 g) in orthoxylene (150 mL), stirred at 150° for 4 h, washed by water, dried with magnesium sulfate, concentrated under vacuum, and recrystd. by acetonitrile to give 2,2'-bithiazole (8.0 g); (2) above product (8.0 g) is dissolved in DMF (150 mL), reacted with N-bromosuccinimide (33.82 g), stirred at 120° for 3 h, precipitated by water, and recrystd. by acetonitrile to give 5,5'-dibromo-2,2'-bithiazole (10.1 g); (3) above product (3.26 g) is dissolved in DMF (150 mL), mixed with tetrakis triphenylphosphine palladium (1.05 g), 4-hydroxyphenyl boronic acid (4.14 g), cesium carbonate (5.90 g), stirred at 120° for 18 h, precipitated by water, dissolved in the mixture of DMF, ethylacetate and

chloroform, treated by activated charcoal, concentrated under decompressing, and recrystd. by acetonitrile to receive 5,5'-bis(4-hydroxyphenyl)-2,2'-bithiazole (1.86 g); (4) above product (0.70 g) dissolved in dimethylacetamide (25 mL) is mixed with acrylic acid 4-chlorobutyl ester (0.49 g), potassium carbonate (0.55 g), potassium iodide (0.05 g), nitrobenzene (0.1 g), stirred at 90° for 5 h, precipitated by water, refined by silica gel chromatog. (eluate: chloroform/ethylacetate = 97/3) to receive the 2,2'-bithiazole compound Product from step (4) (6 parts), a crosslinkable liquid crystal methylhydroquinone bis(4-(6-acryloyloxyhexyloxy) benzoate) (80 parts), chiral agent S 811 (10 parts), and photopolymer. initiator 2,2-dimethoxy-1,2-diphenylethane-1-on (4 parts) are dissolved in chloroform, spin coated on a treated horizontal glass substrate (750 rpm, 20 s), dried at 60° under decompressing, heated up to 150°, cooled down to receive chiral nematic phase, crosslinked by UV irradiation to give an optical component film which stays at the chiral nematic phase stably up to 150°, and emits circularly polarized fluorescence.

L11 ANSWER 26 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:116440 CAPLUS

DOCUMENT NUMBER: 142:207352

TITLE: Arylvinyl compounds bearing fluorene structures, their manufacture, and organic EL (electroluminescent) elements using them with excellent amorphous properties and blue emission efficiency

INVENTOR(S): Nishiyama, Shoichi; Matsumoto, Naoki; Tenma, Hiroaki; Eguchi, Hisao

PATENT ASSIGNEE(S): Tosoh Corp., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005035919	A	20050210	JP 2003-199204	20030718
PRIORITY APPLN. INFO.:			JP 2003-199204	20030718

OTHER SOURCE(S): MARPAT 142:207352

AB The compds., 2-R1-7-R2-9-Ar2ZC:CHAr1Q1-9-Ar2ZC:CHAr1Q2-fluorene [R1-4 = H, linear, branched, or cyclic alkyl, alkoxy, aryl, halo, etc.; Ar1 = (un)substituted arylene; Ar2 = (un)substituted aryl; Z = H, (un)substituted aryl], are manufactured by reacting 2-R1-7-R2-9-X1Ar1Q1-9-X2Ar1Q2-fluorene (R1-4, Ar1 = same as above; X1,2 = Cl, Br, I) and boronic acid compds. Ar2ZC:CHB(OR7)2 or Ar2ZC:CHB(O-tert-Bu)2 (Ar2, Z = same as above; R7 = H, C1-4 alkyl) in the presence of bases and Pd catalysts.

L11 ANSWER 27 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:996166 CAPLUS

DOCUMENT NUMBER: 141:424432

TITLE: Preparation of heterocycle substituted carboxylates, including amino acid derivatives, as inhibitors of protein tyrosine phosphatases for treatment of diabetes, cancer, and related conditions

INVENTOR(S): Whitehouse, Darren; Hu, Shaojing; Fang, Haiquan; Combs, Kerry; Van Zandt, Michael

PATENT ASSIGNEE(S): The Institute of Pharmaceutical Discovery, LLC, USA

SOURCE: PCT Int. Appl., 130 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

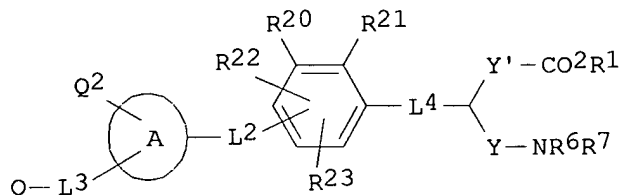
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099192	A2	20041118	WO 2004-US13702	20040430
WO 2004099192	A3	20050113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004236249	A1	20041118	AU 2004-236249	20040430
CA 2523743	A1	20041118	CA 2004-2523743	20040430
US 2005004114	A1	20050106	US 2004-835818	20040430
EP 1628970	A2	20060301	EP 2004-751194	20040430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006525366	T	20061109	JP 2006-514245	20040430
MX 2005PA11539	A	20060123	MX 2005-PA11539	20051026
PRIORITY APPLN. INFO.:			US 2003-467214P	P 20030430
			WO 2004-US13702	W 20040430
OTHER SOURCE(S):		MARPAT 141:424432		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. I [wherein R1 = H, phenyl/alkyl, alkenyl; L = a bond, SO₂, CO, O, S, SO, etc.; L2 = a bond, NH and derivs., O, S, SO₂, SO, NHCO, etc.; L3 = a bond, alkylene, CO, etc.; R2 = H, halo, (un)substituted arylalkoxy, aryl, arylalkyl, etc.; R20, R21, R22, R23 = independently H, halo, alkyl, OH, alkoxy, NO₂, NH₂, (un)substituted arylalkoxy, arylalkyl, etc.; A = (un)substituted hetero/aryl; B = (un)substituted heterocycloalkyl, heteroaryl; Q = H, (un)substituted heterocycloalkyl, hetero/aryl, etc.; Y = a bond, (un)substituted -O-alkylene-; Z = absent or (un)substituted phenyl] and their pharmaceutically acceptable salts which are useful in the treatment of metabolic disorders related to insulin resistance, leptin resistance, or hyperglycemia (no data). Compds. of the invention include inhibitors of protein tyrosine phosphatases, in particular protein tyrosine phosphatase-1B (PTP-1B), that are useful in the treatment of diabetes and other PTP mediated diseases, such as cancer, neurodegenerative diseases, and the like (no data). Also disclosed are pharmaceutical compns. comprising compds. of the invention and methods of treating the aforementioned conditions using such compds. For example, II was prepared by Suzuki cross coupling of bromide III (preparation given) with [4-(dibenzofuran-4-yl)phenyl]boronic acid (preparation given), and Boc-deprotection. Preferred I exhibited IC₅₀ ≤ 300 nM in an in vitro inhibitory activity test against recombinant human PTP1B with phosphotyrosyl dodecapeptide TRDI(P)YETD(P)Y(P)YRK.

ACCESSION NUMBER: 2004:996150 CAPLUS
 DOCUMENT NUMBER: 141:424431
 TITLE: Preparation of substituted amino carboxylic acids
 INVENTOR(S): Whitehouse, Darren; Hu, Shaojing; Van Zandt, Michael
 C.; Parker, Garrett; Meskill, Thomas G.
 PATENT ASSIGNEE(S): The Institutes for Pharmaceutical Discovery, LLC, USA
 SOURCE: PCT Int. Appl., 180 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099171	A2	20041118	WO 2004-US13700	20040430
WO 2004099171	A3	20050331		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004236247	A1	20041118	AU 2004-236247	20040430
CA 2523718	A1	20041118	CA 2004-2523718	20040430
US 2004266789	A1	20041230	US 2004-835817	20040430
EP 1622886	A2	20060208	EP 2004-751192	20040430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009924	A	20060425	BR 2004-9924	20040430
CN 1812979	A	20060802	CN 2004-80018474	20040430
JP 2006525364	T	20061109	JP 2006-514243	20040430
IN 2005KN02075	A	20070323	IN 2005-KN2075	20051021
NO 2005004958	A	20060123	NO 2005-4958	20051025
MX 2005PA11537	A	20060123	MX 2005-PA11537	20051026
PRIORITY APPLN. INFO.:			US 2003-466870P	P 20030430
			WO 2004-US13700	W 20040430
OTHER SOURCE(S): MARPAT 141:424431				
GI				



I

AB The invention relates to compds. I [R1 is H, alkyl, phenylalkyl or alkenyl; R6, R7 are independently H, alkyl, arylalkyl or (un)substituted alkanoyl; R20, R21, R22, R23 are independently H, arylalkoxy, arylalkyl,

halogen, alkyl, OH, alkoxy, NO₂, NH₂, alkyl- or aryl-substituted amino or aryl(alkyl)sulfonylamino, in which the aryl group is optionally substituted; L₂ is a bond, oxyalkylene or iminocarbonyl; L₃ is a bond, oxyalkylene, alkylene, alkenylene, CO or CONH; L₄ is alkylene, thio-, sulfinyl- or sulfonylalkenylene, oxyalkylene, etc.; the A ring (un)substituted Ph, naphthyl, isoindolyl, indolyl, pyridyl, thiazolyl, pyrimidyl, benzofuranyl, benzimidazolyl or 1H-indazolyl; Q is (un)substituted aryl or heteroaryl; Q₂ is H or aryl; Y, Y' are independently a bond or alkylene] and their pharmaceutically-acceptable salts, which are useful in the treatment of metabolic disorders related to insulin resistance, leptin resistance or hyperglycemia. These compds. include inhibitors of protein tyrosine phosphatases, in particular PTP-1B, that are useful in the treatment of diabetes and other PTP-mediated diseases such as cancer and neurodegenerative diseases. Thus, (2R)-Me 2-amino-3-(4'-dibenzofuran-4-ylbiphenyl-4-ylmethylthio)propionate was prepared by coupling of 4'-dibenzofuran-4-ylbiphenyl-4-ylmethyl mesylate with N-(tert-butoxycarbonyl)-L-cysteine Me ester and deprotection. The mesylate was prepared by reaction of dibenzofuran-4-boronic acid with 1-bromo-4-iodobenzene and then 4-formylphenylboronic acid, followed by borohydride reduction. Test compds. of the invention are evaluated for their in vitro inhibitory activity against recombinant human PTP1B with phosphotyrosyl dodecapeptide TRDI(P)YETD(P)Y(P)YRK. Particularly preferred compds. exhibit IC₅₀ values < 300 nM.

L11 ANSWER 29 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:996149 CAPLUS

DOCUMENT NUMBER: 141:424430

TITLE: Preparation of phenyl substituted carboxylates, including amino acid derivatives, as inhibitors of protein tyrosine phosphatases for treatment of diabetes, cancer, and related conditions

INVENTOR(S): Whitehouse, Darren; Hu, Shaojing; Fang, Haiquan; Van Zandt, Michael

PATENT ASSIGNEE(S): The Institute of Pharmaceutical Discovery, LLC, USA

SOURCE: PCT Int. Appl., 121 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

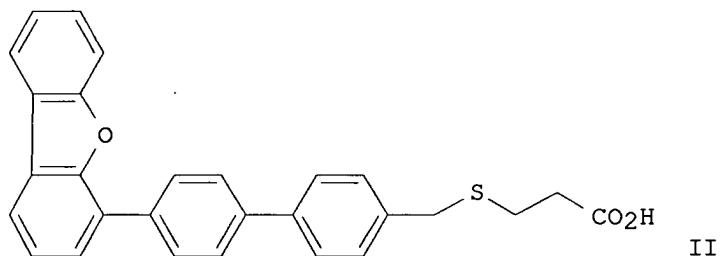
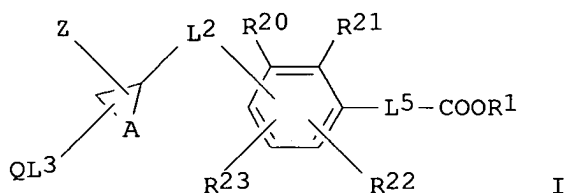
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099170	A2	20041118	WO 2004-US13701	20040430
WO 2004099170	A3	20050915		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004236248	A1	20041118	AU 2004-236248	20040430
CA 2524235	A1	20041118	CA 2004-2524235	20040430
US 2005004369	A1	20050106	US 2004-835924	20040430
EP 1620422	A2	20060201	EP 2004-751193	20040430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

BR 2004009916	A	20060425	BR 2004-9916	20040430
CN 1812978	A	20060802	CN 2004-80018417	20040430
JP 2006525365	T	20061109	JP 2006-514244	20040430
MX 2005PA11536	A	20060123	MX 2005-PA11536	20051026
IN 2005KN02125	A	20070323	IN 2005-KN2125	20051026
NO 2005005129	A	20060123	NO 2005-5129	20051102
PRIORITY APPLN. INFO.:			US 2003-466868P	P 20030430
			WO 2004-US13701	W 20040430
OTHER SOURCE(S):		MARPAT 141:424430		
GI				



AB The invention relates to compds. I [wherein R1 = H, phenyl/alkyl, alkenyl; L2 = a bond, CONH and derivs., NHCO and derivs., etc.; L3 = absent, a bond, alkylene, alkenylene, phenylene, etc.; L5 = a bond, (un)substituted -O-alkylene, alkylene-O, alkylene-S-alkylene, etc.; R20, R21, R22, R23 = independently H, halo, alkyl, OH, alkoxy, NO2, NH2, CN, (un)substituted arylalkoxy, arylalkyl, etc.; A = (un)substituted hetero/aryl, heterocycloalkyl; Q = H, (un)substituted hetero/aryl, heterocycloalkyl, etc.; Z = absent, H, (un)substituted aryl, etc.] and their pharmaceutically acceptable salts which are useful in the treatment of metabolic disorders related to insulin resistance, leptin resistance, or hyperglycemia (no data). Compds. of the invention include inhibitors of protein tyrosine phosphatases, in particular protein tyrosine phosphatase-1B (PTP-1B), that are useful in the treatment of diabetes and other PTP mediated diseases, such as cancer, neurodegenerative diseases, and the like (no data). Also disclosed are pharmaceutical compns. comprising compds. of the invention and methods of treating the aforementioned conditions using such compds. For example, II was prepared in 3 steps by reacting 3thiopropanoic acid Me ester with 4-bromobenzyl bromide, coupling with [4'-(Dibenzofuran-4-yl)phenyl]boronic acid, and demethylation. Preferred I exhibited IC50 ≤ 300 nM in an in vitro inhibitory activity test against recombinant human PTP1B with phosphotyrosyl dodecapeptide TRDI(P)YETD(P)Y(P)YRK.

L11 ANSWER 30 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:857603 CAPLUS

DOCUMENT NUMBER: 141:332189

TITLE: Pyrazolopyrimidine compounds and their use in medicine

INVENTOR(S): Parratt, Martin; Bower, Justin Fairfield; Williamson, Douglas; Cansfield, Andrew

PATENT ASSIGNEE(S): Vernalis Cambridge Limited, UK

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

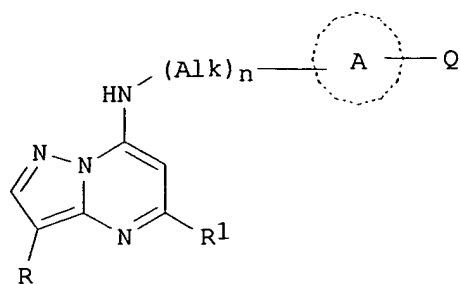
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

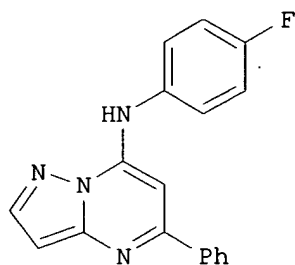
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087707	A1	20041014	WO 2004-GB1214	20040318
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1608652	A1	20051228	EP 2004-721593	20040318
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
US 2007179161	A1	20070802	US 2006-551177	20061206
PRIORITY APPLN. INFO.:			GB 2003-7389	A 20030331
			GB 2003-12296	A 20030529
			GB 2003-19028	A 20030813
			GB 2003-25854	A 20031105
			WO 2004-GB1214	W 20040318

OTHER SOURCE(S): MARPAT 141:332189

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I



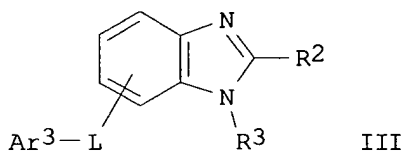
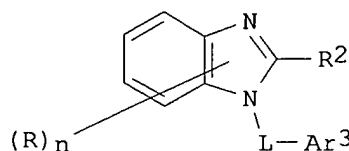
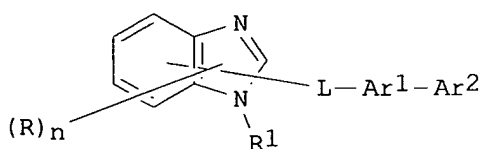
II

AB Compds. of formula (I) or salts, N-oxides, hydrates or solvates thereof are disclosed as inhibitors of kinase activity, and useful for the treatment of, for example, cancer, psoriasis or restenosis: wherein ring A is an optionally substituted carbocyclic or heterocyclic radical. Alk represents an optionally substituted divalent C1-C6 alkylene radical; n is 0 or 1. Q represents a radical of formula $-(\text{Alk}1)_p(\text{X})_r-(\text{Alk}2)_s-\text{Z}$ wherein in any compatible combination Z is hydrogen or an optionally substituted carbocyclic or heterocyclic ring; Alk1 and Alk2 are optionally substituted divalent C1-C6 alkylene radicals which may contain a -O-, -S- or -NRA- link, wherein RA is hydrogen or C1-C6 alkyl; X represents -O-, -S-, $-(\text{C}=\text{O})-$, $-(\text{C}=\text{S})-$, $-\text{SO}_2-$, $-\text{SO}-$, $-\text{C}(=\text{O})\text{O}-$, $-\text{OC}(=\text{O})-$, $-\text{C}(=\text{O})\text{NRA}-$, $-\text{NRAC}(=\text{O})-$, $-\text{C}(=\text{S})\text{NRA}-$, $-\text{NRAC}(=\text{S})-$, $-\text{SO}_2\text{NRA}-$, $-\text{NRASO}_2-$, $-\text{OC}(=\text{O})\text{NRA}-$, $-\text{NRAC}(=\text{O})\text{O}-$, or -NRA- wherein RA is hydrogen or C1-C6 alkyl; p, r and s are independently 0 or 1. R1 represents a radical $-(\text{Alk}3)_a-(\text{Y})_b-(\text{Alk}4)_d-\text{B}$ wherein a, b and d are independently 0 or 1; Alk3 and Alk4 are optionally substituted divalent C1-C3 alkylene radicals; Y represents a monocyclic divalent carbocyclic or heterocyclic radical having from 5 to 8 ring atoms, -O-, -S-, or -NRA- wherein RA is hydrogen or C1-C6 alkyl; B represents hydrogen or halo, or an optionally substituted monocyclic carbocyclic or heterocyclic ring having from 5 to 8 ring atoms, or in the case where Y is -NRA- and b is 1, then RA and the radical $-(\text{Alk}4)_d-\text{B}$ taken together with the nitrogen to which they are attached may form an optionally substituted heterocyclic ring. R represents H, halo, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkylthio, Ph, benzyl, cycloalkyl with 3 to 6 ring atoms, or a monocyclic heterocyclic group having 5 or 6 ring atoms. Preparation of I is also disclosed; thus, e.g., the HCl salt of II was prepared from 5,7-dichloropyrazolo[1,5-a]pyrimidine via substitution with 4-fluoroaniline followed by N-protection with di-t-Bu dicarbonate, coupling with Ph boronic acid, and deprotection. I were assayed for CDK2 inhibition and were identified to possess IC₅₀ values ranging from 0.004-24.866 μM . Data for assays of CHK1 kinase activity and PDK dependent kinase activity were given as well as growth inhibition assay data for select example compds.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2004:780674 CAPLUS
 DOCUMENT NUMBER: 141:303998
 TITLE: Preparation of nitrogen-containing heterocycle derivative and organic electroluminescent element using the same
 INVENTOR(S): Yamamoto, Hiroshi; Matsuura, Masahide; Kubota, Mineyuki; Kawamura, Masahiro
 PATENT ASSIGNEE(S): Idemitsu Kosan Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 81 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080975	A1	20040923	WO 2004-JP682	20040127
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1602648	A1	20051207	EP 2004-705503	20040127
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1784388	A	20060607	CN 2004-80012355	20040127
US 2006147747	A1	20060706	US 2005-547312	20050830
IN 2005CN02230	A	20070803	IN 2005-CN2230	20050912
PRIORITY APPLN. INFO.:			JP 2003-67847	A 20030313
			WO 2004-JP682	W 20040127
OTHER SOURCE(S):	MARPAT 141:303998			
GI				



AB Novel benzimidazole derivs. [I, II, or III; R, R2, R3 = H, (un)substituted C6-60 aryl, pyridyl, quinolyl, C1-20 alkyl, or C1-20 alkoxy; n = 0-4; R1 = (un)substituted C6-60 aryl, pyridyl, quinolyl, C1-20 alkyl, or C1-20 alkoxy; L = (un)substituted C6-60 arylene, pyridinylene, quinolinylene, or fluorenylene; Ar1 = (un)substituted C6-60 arylene, pyridinylene, or quinolinylene; Ar2 = groups listed in R1; Ar3 = groups listed in R1, -Ar1-Ar2, wherein Ar1 and Ar2 are defined

above] are prepared Also disclosed are a material for an organic electroluminescent (EL) element comprising the nitrogen-containing heterocycle derivative, and an organic EL element having one pair of electrodes and, sandwiched between them, at least one organic compound layer including a luminous layer, characterized in that the at least one organic compound layer comprises the above nitrogen-containing heterocycle derivative The novel nitrogen-containing heterocycle derivs. are useful as a component of an organic EL element which is capable of exhibiting high luminous brightness and high luminous efficiency with a low electron voltage. Thus, 5-bromo-1,2-diphenyl-1H-benzimidazole was coupled with [10-(naphthalen-2-yl)anthracen-9-yl]boronic acid in the presence of tetrakis(triphenylphosphine)palladium in a mixture of 1,2-dimethoxyethane and 2.0 M aqueous Na₂CO₃ solution under refluxing for 8 h

to

give 49% 1,2-diphenyl-5-[10-(naphthalen-2-yl)anthracen-9-yl]-1H-benzimidazole (II). An electroluminescent device with an electron-injection layer containing II showed blue luminescence with luminance of 1,150 nit and luminous efficiency of 7.28 cd/A at 5.75 V.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 32 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:606442 CAPLUS

DOCUMENT NUMBER: 141:147905

TITLE: Preparation of nitrogenous heterocyclic derivative and organic electroluminescent element employing the same

INVENTOR(S): Yamamoto, Hiroshi; Matsuura, Masahide; Ikeda, Hidetsugu; Kubota, Mineyuki; Kawamura, Masahiro

PATENT ASSIGNEE(S): Idemitsu Kosan Co., Ltd., Japan

SOURCE: PCT Int. Appl., 148 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063159	A1	20040729	WO 2003-JP12322	20030926
W: CN, IN, KR, US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
JP 2004002297	A	20040108	JP 2003-4139	20030110
JP 2004217547	A	20040805	JP 2003-5184	20030114
EP 1582516	A1	20051005	EP 2003-748602	20030926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
IN 2005CN01518	A	20070615	IN 2005-CN1518	20050705
US 2006154105	A1	20060713	US 2005-541745	20050708
IN 2006CN04555	A	20070629	IN 2006-CN4555	20061212
PRIORITY APPLN. INFO.:				
			JP 2003-4139	A 20030110
			JP 2003-5184	A 20030114
			JP 2002-108805	A 20020411
			WO 2003-JP12322	W 20030926
			IN 2005-CN1518	A3 20050705

OTHER SOURCE(S): MARPAT 141:147905

AB Provided are a nitrogenous heterocyclic derivative represented by general formula HAR-L-Ar1-Ar2 [HAR = (un)substituted C3-40 N-containing heterocyclic ring; L = a single bond, each (un)substituted C6-60 arylene, C3-60 heteroarylene, or fluorenylene; Ar1 = (un)substituted divalent C6-60 aromatic hydrocarbon group; Ar2 = (un)substituted C6-60 aryl or C3-60 heteroaryl] as well as specific compds.; a material for organic

electroluminescent elements which comprises the nitrogenous heterocyclic derivative; and an organic electroluminescent element comprising a neg. electrode, a pos. electrode, and sandwiched there between one or more thin organic layers comprising a luminescent layer, wherein at least one of the thin organic layers consists of the nitrogenous heterocyclic derivative alone

or

contains the nitrogenous heterocyclic derivative as a component of a mixture The organic electroluminescent element can have a higher luminance and a higher luminescent efficiency and have a longer life due to improved electrode adhesion. Thus, 2-(4-bromophenyl)imidazo[1,2-a]pyridine was coupled with [10-(naphthalen-2-yl)anthracen-9-yl]boronic acid in the presence of tetrakis(triphenylphosphine)palladium in a mixture of 2.0 M aqueous Na₂CO₃ solution and 1,2-dimethoxyethane at reflux for

6 h

to give 2-[4-[10-(naphthalen-2-yl)anthracen-9-yl]phenyl]imidazo[1,2-a]pyridine (I). An electroluminescent device deposited with a 10 nm layer of I as an electron injection layer exhibited a luminance of 532 nit and a luminescent efficiency of 6.87 cd/A at 3.7 V and c.d. of 7.74 mA/cm².

L11 ANSWER 33 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:412953 CAPLUS

DOCUMENT NUMBER: 140:406949

TITLE: Preparation of halo sulfonyl aryl boronates and their use in organic synthesis

INVENTOR(S): Vedso, Per; Olesen, Preben Houlberg; Hoeg-Jensen, Thomas

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041833	A1	20040521	WO 2003-DK738	20031030
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003277831	A1	20040607	AU 2003-277831	20031030
US 2004116701	A1	20040617	US 2003-697226	20031030
US 6872849	B2	20050329		
EP 1562961	A1	20050817	EP 2003-769254	20031030
EP 1562961	B1	20061025		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006505594	T	20060216	JP 2004-548681	20031030
AT 343583	T	20061115	AT 2003-769254	20031030
ES 2276124	T3	20070616	ES 2003-3769254	20031030
PRIORITY APPLN. INFO.:			DK 2002-1723	A 20021108
			US 2002-425579P	P 20021112
			WO 2003-DK738	W 20031030

OTHER SOURCE(S): CASREACT 140:406949; MARPAT 140:406949

AB The present invention relates to halo sulfonyl aryl boronates, Y-(R₁R₂R₃-

Arylene)SO₂-X (Arylene = carbocyclic or heterocyclic, aromatic ring system comprising 1-3 rings; R₁, R₂, R₃ = independently, H, C₁-6 alkyl, C₁-6 alkoxy, halo, nitro, cyano or phenyl; X = F, Cl, Br; Y = boroxine moiety attached via a bond from Arylene to one of the boron atoms of a boroxine ring which ring has a group of the formula - Arylene(R₁)(R₂)(R₃)SO₂X, wherein Arylene, R₁, R₂, R₃ and X are as defined above, at each of the other two boron atoms of the boroxine ring, or Y is a boronic acid group or a boronic ester group). The invention also relates to the preparation of the compds. and to their use in organic synthesis. Thus, lithiation of 4-bromobenzenboronic acid N-methyldiethanolamine ester with BuLi in THF/hexanes followed by treatment with SO₂ gave 99% lithium 4-sulfinylphenylboronic acid N-methyldiethanolamine ester. Treatment of lithium 4-sulfinylphenylboronic acid N-methyldiethanolamine ester with N-chlorosuccinimide in CH₂Cl₂ followed by amination with benzylamine gave 56% PhCH₂NHSO₂C₆H₄B(OH)₂-4.

L11 ANSWER 34 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:158617 CAPLUS
 DOCUMENT NUMBER: 140:270929
 TITLE: A Study of the Aryl-Aryl Coupling Reactions of (4-XC₆H₄)Ph₂PO
 AUTHOR(S): Czupik, Michaela; Bankey, Nathaniel; Fossum, Eric
 CORPORATE SOURCE: Department of Chemistry, Wright State University, Dayton, OH, 45435, USA
 SOURCE: Synthetic Communications (2004), 34(4), 705-714
 CODEN: SYNCAV; ISSN: 0039-7911
 PUBLISHER: Marcel Dekker, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 140:270929

AB The Suzuki coupling reactions of (4-XC₆H₄)Ph₂PO, where X = bromide or triflate, with boronic acids were studied using tetrakis(triphenylphosphino)palladium or Pd(OAc)₂ as the catalyst. The boronic acids used were Ph, p-tolyl, 3-methoxy, 4-methoxy, and 4-acetyl. Yields of the corresponding biphenyl analogs ranged from 50 to 95%. Pd acetate provided products free of PPh₃ contamination, and required significantly shorter reaction times for complete reaction (2 to 4 h vs. 12 to 24 h, resp.) than when tetrakis(triphenylphosphino)palladium was used. The methodol. was applied to (4-FC₆H₄)₂(4-TfOC₆H₄)PO to afford, in excellent yield (99%), a biphenyl-based AB₂ monomer precursor for dendritic and hyperbranched poly(arylene ether phosphine oxide)s.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 35 OF 58 COMPENDEX COPYRIGHT 2007 EEI on STN DUPLICATE 5

ACCESSION NUMBER: 2004(38):5051 COMPENDEX
 TITLE: Boronic acid based modular fluorescent sensors for glucose.
 AUTHOR: Phillips, Marcus D. (Department of Chemistry University of Bath, Bath, BA2 7AY, United Kingdom); James, Tony D.
 SOURCE: Journal of Fluorescence v 14 n 5 September 2004 2004.p 549-559
 SOURCE: Journal of Fluorescence v 14 n 5 September 2004 2004.p 549-559
 CODEN: JOFLEN ISSN: 1053-0509
 PUBLICATION YEAR: 2004
 DOCUMENT TYPE: Journal
 TREATMENT CODE: Theoretical
 LANGUAGE: English

AN 2004(38):5051 COMPENDEX

AB Modular photoinduced electron transfer (PET) sensors bearing two phenylboronic acid groups, one or two fluorophores: pyrene(a), phenanthrene(b), anthracene(c), 1-naphthalene(d), 2-naphthalene(e) and alkylene linkers, from trimethylene(3) to octamethylene(8), have been evaluated. Systems with a single pyrene fluorophore 34a 3 5a and 36a bind the strongest with D-glucose (36a also binds well with D-melibiose). Whilst 37a and 38a bind the strongest with D-galactose. Changing the fluorophore, also, influences the binding, 36a, 3 6b and 36c are D-glucose selective, whilst 36d and 36e are D-galactose selective. Systems with two fluorophores 36a-a and 36a-b show an overall decrease in binding efficiency. Energy transfer in 36a-b results in enhanced sensitivity and selectivity towards D-glucose. 63 Refs.

L11 ANSWER 36 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:319726 CAPLUS

DOCUMENT NUMBER: 138:338291

TITLE: Preparation of arylboronic acid derivatives and esters thereof as intracellular calcium concentration increase inhibitors

INVENTOR(S): Mikoshiba, Katsuhiko; Iwasaki, Hirohide; Maruyama, Takayuki; Hamano, Shinichi

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

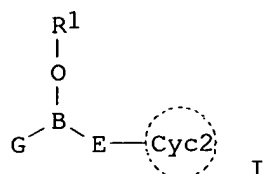
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003033002	A1	20030424	WO 2002-JP10534	20021010
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002362908	A1	20030428	AU 2002-362908	20021010
EP 1444981	A1	20040811	EP 2002-801529	20021010
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
US 2004259842	A1	20041223	US 2004-492150	20040409
US 7217701	B2	20070515		
PRIORITY APPLN. INFO.:			JP 2001-313402	A 20011011
			WO 2002-JP10534	W 20021010
OTHER SOURCE(S):	MARPAT 138:338291			
GI				



AB Disclosed are intracellular calcium concentration increase inhibitors containing as

the active ingredient boron compds. represented by the following general formula (I). [R1 = (un)substituted C1-3 aminoalkyl, C1-6 alkyl or C2-6 alkenyl substituted by 5- or 6-membered monocyclic heterocyclyl or C5 or 6 monocyclic carbocyclyl, CHR5R6, 5,6,7,8-tetrahydroquinolin-8-yl (wherein R5, R6 = (un)substituted C4-5 monocyclic carbocyclyl, C5 or 6 monocyclic carbocyclyl, or C1-6 alkyl or C2-6 alkenyl substituted by one of these groups); G = HO, (un)substituted C5-10 monocyclic or bicyclic carbocyclyl or 5 to 10-membered monocyclic or bicyclic heterocyclyl; Cyc2 = (un)substituted C5-10 monocyclic or bicyclic carbocyclyl or 5 to 10-membered monocyclic or bicyclic heterocyclyl; E = a single bond, C1-4 alkylene optionally substituted C5 or 6 monocyclic carbocyclyl; provided that (2-aminoethoxy)diphenylborane] or nontoxic salts thereof. These compds. inhibit the increase in intracellular calcium by inhibiting the release of endogenous calcium or the influx of capacitive calcium and are useful as preventives and/or remedies for platelet aggregation, ischemic diseases in the heart or brain, immune deficiency, allergic diseases, bronchial asthma, hypertension, cerebrovascular twitch, various renal diseases, pancreatitis, and Alzheimer's disease. Thus, a solution of 100 mg bis(3-chloro-4-methylphenyl)boronic acid and 52 mg N-cyclohexylethanolamine in 2 mL ethanol was stirred at room temperature overnight to give bis(3-chloro-4-methylphenyl)boronic acid 2-cyclohexylaminoethyl ester (II). Bis[4-[(2-aminoethoxy)phenylboryl]benzyl] ether showed IC50 of 0.059 μM for inhibiting the increase in intracellular calcium concentration in

chicken-derived

DT40 cultured cells lacking in IP3 receptor and also depleted in calcium by treatment with thapsigargin (calcium ion pump inhibitor for endoplasmic reticulum) when the cells were exposed to calcium chloride solution. A tablet and an ampule formulation containing II were described.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 37 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:656036 CAPLUS

DOCUMENT NUMBER: 139:182704

TITLE: Substituted fluorene copolymers as photoluminescent markers for gasoline, fuels, and bulk solids

INVENTOR(S): Nguyen, My T.; Raymond, Francois; Xiao, Steven

PATENT ASSIGNEE(S): American Dye Source, Inc., Can.

SOURCE: U.S. Pat. Appl. Publ., 15 pp.

CODEN: USXXCO

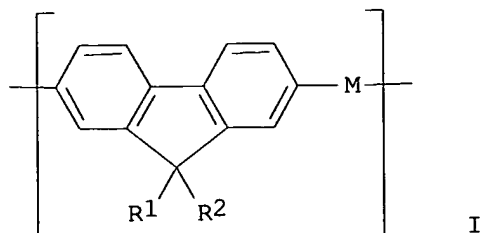
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003154647	A1	20030821	US 2001-25830	20011226
US 6808542	B2	20041026		
PRIORITY APPLN. INFO.:			US 2001-25830	20011226



AB Photoluminescent marker compds. which are colorless or nearly colorless in visible light but exhibit strong photoluminescence at 380-800 nm upon exposure to UV radiation or laser light are 9,9-disubstituted fluorene copolymers of general structure I, in which R1 and R2 = C1-24-alkyl or branched alkyl, n is the repeating unit, and M is an aromatic co-monomer derived from substituted and unsubstituted divalent phenylenes (C₆H₄), naphthylenes (C₁₀H₆), biphenyls (C₁₂H₈), divalent anthracenes (C₁₄H₆), divalent 9,9-disubstituted fluorenes, divalent heteroarylenes, and diethynylarylenes. The monomers are prepared by Pd complex-catalyzed coupling polymerization of 2,7-bis(boronic acid)-substituted-9,9-disubstituted-9H-fluorene with the corresponding dibromoarene (e.g., 1,4-dibromobenzene, 4,4'-dibromobiphenyl, 9,10-dibromoanthracene, etc.). Such markers, which are insol. in water and thus cannot be removed by aqueous solvent extraction, are useful as markers for gasoline and other combustible fuels, bulk liqs., and polymeric solids (e.g., polymer films) and can be identified photoluminescence when irradiated with UV or laser light.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 38 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:616581 CAPLUS

DOCUMENT NUMBER: 141:411307

TITLE: Novel poly(arylene)s with pendant trifluoromethyl groups by Ni(O) catalyzed coupling reaction

AUTHOR(S): Banerjee, Susanta; Maier, Gerhard; Burger, Martin
CORPORATE SOURCE: Synthetic Chemistry Division, Defence Research and Development Establishment, Gwalior, 474002, India

SOURCE: Journal of Polymer Materials (2003), 20(4), 377-386
CODEN: JOPME8; ISSN: 0970-0838

PUBLISHER: Oxford & IBH Publishing Co. Pvt. Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Novel bishalo monomers containing trifluoromethyl groups have been synthesized successfully using Pd(O) catalyzed cross coupling reaction of 4-chloro-3-trifluoromethyl Ph boronic acid with 1,4-dibromobenzene, 2,6-dibromopyridine and 2,5-dibromothiophene, resp. These monomers led to new poly(arylene) (PPP) structures using Ni(O) catalyzed polymerization. The resulting polymers are low mol. weight but exhibit acceptable thermal stability and are soluble in a wide range of organic solvents. The polymer and monomer structures were characterized by IR, ¹H-, ¹³C-, ¹⁹F-NMR spectroscopy, elemental anal. and DSC. The ¹⁹F-NMR spectroscopy has been successfully employed to determine the mol. weight of the poly(arylene)s. These values are in good agreement with the GPC number average mol. weight (M_n) values.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 39 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:695974 CAPLUS
DOCUMENT NUMBER: 137:232446
TITLE: Preparation of aminodicarboxylic acids for the treatment of cardiovascular diseases
INVENTOR(S): Alonso-Alija, Cristina; Haerter, Michael; Hahn, Michael; Pernerstorfer, Josef; Weigand, Stefan; Stasch, Johannes-Peter; Wunder, Frank
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070510	A2	20020912	WO 2002-EP1891	20020222
WO 2002070510	A3	20030130		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10110750	A1	20020912	DE 2001-10110750	20010307
CA 2439756	A1	20020912	CA 2002-2439756	20020222
AU 2002234645	A1	20020919	AU 2002-234645	20020222
EP 1368335	A2	20031210	EP 2002-701292	20020222
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004529111	T	20040924	JP 2002-569830	20020222
US 2004082798	A1	20040429	US 2003-469817	20031222
US 2007179139	A1	20070802	US 2006-581261	20061010
PRIORITY APPLN. INFO.:			DE 2001-10110750	A 20010307
			WO 2002-EP1891	W 20020222
			US 2003-469817	B1 20031222
OTHER SOURCE(S):	MARPAT 137:232446			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Z = saturated or partially unsatd. Ph, aromatic, heteroarom. containing 1-3 heteroatoms, e.g., S, N, O; V = absent, O, NR4, etc; Q = absent, (un)substituted alkylene, alkendiyl, etc.; Y = H, (un)substituted aryl, NR8R9, etc.; W = (un)substituted alkylene, alkendiyl; U = (un)substituted alkyl; A = (un)substituted aryl, heteroarom. containing 1-3 heteroatoms, e.g., S, N, O; X = (un)substituted alkylene, alkendiyl, aryl, etc.; R1 = tetrazolyl, COOR30, CONR31R32; R2 = tetrazolyl, COOR24, CONR25R26, R25 and R26 form 5 or 6-membered ring which can be interrupted by O or N; R3 = H, halo, (un)substituted alkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.; R8, R9 = H,

(un)substituted alkyl, alkenyl, etc; R24 = H, (un)substituted alkyl, cycloalkyl; R25, R26 = H, (un)substituted alkyl, cycloalkyl, etc.; R30 = H, (un)substituted alkyl, cycloalkyl; R31, R32 = H, (un)substituted alkyl, cycloalkyl, etc.; m = 1-4; n = 1-2] and their pharmaceutically acceptable salts were prepared For example, Pd(Ph₃)₂Cl₂ mediated coupling of aryl bromide II, prepared from 3,4-bis(chloromethyl)-2,5-dimethyl thiophene in 5-steps, with 2,4-dichlorophenyl boronic acid, followed by ester hydrolysis afforded aminodicarboxylate III. In vitro artery ring vasorelaxation activity of 7-examples of I are reported, with IC₅₀ values ranging from 125-2 nM, e.g., aminodicarboxylate III IC₅₀ = 2 nM. Compds. I stimulated the activation of soluble guanylate cyclase (sGC) independent of the heme group.

L11 ANSWER 40 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:695933 CAPLUS
DOCUMENT NUMBER: 137:232445
TITLE: Preparation of aminodicarboxylic acids for the treatment of cardiovascular diseases
INVENTOR(S): Alonso-Alija, Cristina; Haerter, Michael; Hahn, Michael; Pernerstorfer, Josef; Weigand, Stefan; Stasch, Johannes-Peter; Wunder, Frank
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070462	A1	20020912	WO 2002-EP1941	20020225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10110749	A1	20020912	DE 2001-10110749	20010307
CA 2439759	A1	20020912	CA 2002-2439759	20020225
AU 2002237308	A1	20020919	AU 2002-237308	20020225
EP 1368300	A1	20031210	EP 2002-703602	20020225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004525117	T	20040819	JP 2002-569783	20020225
US 2004176446	A1	20040909	US 2004-471077	20040412
PRIORITY APPLN. INFO.:			DE 2001-10110749	A 20010307
			WO 2002-EP1941	W 20020225
OTHER SOURCE(S):		MARPAT 137:232445		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [V = absent, O, COO, etc.; Q = absent, (un)substituted alkylene, alkendiyl, etc; Y = H, NR₈R₉, (un)substituted aryl, etc.; W = (un)substituted alkylene, alkendiyl; U =

(un)substituted alkyl; A = (un)substituted aryl, heteroarom. containing 1-3 heteroatoms, e.g., S, N, O; X = (un)substituted alkylene, alkendiyl, aryl, etc.; R1 = tetrazolyl, COOR30, CONR31R32 ; R2 = tetrazolyl, COOR24, CONR25R26, R25 and R26 form 5 or 6-membered ring which can be interrupted by O or N; R3 = aryl, SR17, SO2R17, etc.; R8, R9, R17 = H, (un)substituted alkyl, alkenyl, etc.; R24 = H, (un)substituted alkyl, cycloalkyl; R25, R26 = H, (un)substituted alkyl, cycloalkyl, etc.; R30 = H, (un)substituted alkyl, cycloalkyl; R31, R32 = H, (un)substituted alkyl, cycloalkyl, etc.; m = 1-4; n = 1-2] and their pharmaceutically acceptable salts were prepared For example, Pd(Ph3)2Cl2 mediated coupling of aryl bromide II, prepared from ethyl-2-hydroxy-5-trifluoromethoxybenzoate in 8-steps, with 4-chlorophenyl boronic acid, followed by ester hydrolysis afforded aminodicarboxylate III. Compds. I stimulated the activation of soluble guanylate cyclase (sGC) independent of the heme group (no data).

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 41 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:107924 CAPLUS

DOCUMENT NUMBER: 136:167692

TITLE: Preparation of new biphenyl and biphenyl-analogous compounds as integrin antagonists

INVENTOR(S): Albers, Markus; Urbahns, Klaus; Vaupel, Andrea; Harter, Michael; Schmidt, Delf; Stelte-Ludwig, Beatrix; Gerdes, Christoph; Stahl, Elke; Keldenich, Jorg; Brueggemeier, Ulf; Lustig, Klemens

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: U.S. Pat. Appl. Publ., 256 pp., Division of U.S. Ser. No. 464,237.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002016461	A1	20020207	US 2001-828514	20010406
US 6677360	B2	20040113		
US 6420396	B1	20020716	US 1999-464237	19991215
US 2004030132	A1	20040212	US 2002-285073	20021031
US 7094911	B2	20060822		

PRIORITY APPLN. INFO.:
US 1998-172225P P 19981216
US 1999-464237 A3 19991215
US 1999-172217P P 19991019
US 2001-828514 A3 20010406

OTHER SOURCE(S): MARPAT 136:167692

AB Biphenyl compds. R1O2CCHR2-U-V-A-B-W-NR3-C-R4 [R1 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl; R2 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, alkenyl, alkynyl, -NR2'SO2R2'', -NR2'CO2R2', -NR2'COR2', -NR2'CONR2'2, -NR2'CSNR2'2 (R2' has same definition as R1 and R2'' has same definition as R1 except it is not H); U or W is a direct bond or (un)substituted alkylene; V = (un)substituted alkylene, -NR2'CO- or NR2'SO2-; A and B = (un)substituted 1,3- or 1,4-bridging phenylene group or a 2,4- or 2,5-bridging thienylene group, each of which may have substituents; C is a direct bond, CMe(:X-R5)-Y-N(R6)- (R5 is absent, H, (un)substituted alkyl or cycloalkyl, NO2, acyl, carboxylic or carboxylate group or is connected to R3, Y, R4 or R6, if present; R6 is H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R3, R4, Y, or

R5, if present, to form a heterocyclic ring system; X = CHNO₂, CHCN, O, N or S; Y is a direct bond or (un)substituted alkylene or alkyne group) or 3,4-dioxo-1,2-cyclobutenediyl-NR₆-; R₃, R₄ = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R₄ (or R₃), Y, R₅ or R₆, if present, to form a heterocyclic ring system] were prepared as integrin antagonists. For example, (2R,S)-3-[3-(pyridin-3-ylmethylureido)biphenyl-4-yl]-2-[2,4,6-trimethylbenzenesulfonylamino]propanoic acid, prepared by reactions of resin-bound (2R,S)-3-(4-bromophenyl)-2-(9-fluorenylmethoxycarbonylamino)propanoic acid with sulfonylating, boronic acid, and amine reagents (mesitylenesulfonyl chloride, 3-nitrobenzeneboronic acid, and 2-aminomethylpyridine), showed IC₅₀ = 5 nM for binding to the $\alpha\beta 3$ receptor and IC₅₀ = 480 nM in the smooth muscle cell migration test. Thus, the invention compds. are useful for the inhibition of angiogenesis and/or for therapy and prophylaxis of cancer, osteolytic diseases such as osteoporosis, arteriosclerosis, restenosis, rheumatoid arthritis, and ophthalmic disorders (no data).

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 42 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:568380 CAPLUS

DOCUMENT NUMBER: 137:149337

TITLE: Preparation of porphyrin derivatives as reagents for recognizing Lewis sugar

INVENTOR(S): Shinkai, Seiji; Komoto, Kazuya; Sugasaki, Atsushi; Ikeda, Susumu; Takeuchi, Masayuki

PATENT ASSIGNEE(S): Japan Science and Technology Corporation, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 2002214233	A	20020731	JP 2001-9661	20010118
JP 3884621	B2	20070221		
PRIORITY APPLN. INFO.:			JP 2001-9661	20010118
OTHER SOURCE(S):	MARPAT 137:149337			

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Porphyrin derivs. having boronic acid groups, i.e. B(OH)₂, at least at two meso positions which coordinate to metal to form dimers of a sandwich structure represented by general formula (I; M₁ = transition metal; R₁ = R₂ = R₃ = Q and R₄ = Q₁; R₁ = R₃ = Q and R₂ = R₄ = Q₁; R₁ = R₂ = Q₁ and R₃ = R₄ = Q; or R₁ = R₂ = R₃ = R₄ = Q) or are linked at meso-meso position to form dimers represented by general formula (II; M₁ = H₂, transition metal; X = alkylene, vinylene, acetylene) are prepared. These porphyrin dimers recognize Lewis sugars which are important biol. active oligosaccharides and are useful for detection or separation of Lewis sugars. Thus, pyrrole and CF₃CO₂H were added to p-anisaldehyde and stirred at room temperature for 2 h to give (4-methoxyphenyl)di(2-pyrrolyl)methane which was heated with pyridine-4-carboxaldehyde and pyrrole in propionic acid under reflux for 4

h to give 5.6% 5,15-bis(4-methoxyphenyl)-10,20-di(4-pyridyl)porphyrin (III). III was added to 1,2,4-trichlorobenzene, stirred, and treated dropwise with 1.54 BuLi/hexane under stirring, followed by adding Ce(acac)3.3H2O after formation of bubbles ceased, and the resulting mixture was refluxed for 6 h to give 27% bis[5,15-bis(4-methoxyphenyl)-10,20-di(4-pyridyl)porphyrinato]cerium which was heated with protected p-bromomethylphenylboronic acid (IV) in DMF at 55° to give I (M1 = Ce, R1 = R3 = Q1, R2 = R4 = Q2) (V). V formed complexes with various Lewis sugars including SLeX, LeX, Sulfo LeX, SLea, Lea, and Sulfo Lea which were confirmed by observation of the presence of pos. allosteric effect based on ≥ 1 of Hill coefficient in Hill plots.

L11 ANSWER 43 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2000:421093 CAPLUS
 DOCUMENT NUMBER: 133:43809
 TITLE: Preparation of new biphenyl and biphenyl-analogous compounds as integrin antagonists
 INVENTOR(S): Albers, Markus; Urbahns, Klaus; Vaupel, Andrea; Harter, Michael; Schmidt, Delf; Stelte-ludwig, Beatrix; Gerdes, Christoph; Stahl, Elke; Keldenich, Jorg; Bruggemeier, Ulf; Lustig, Klemens
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany; et al.
 SOURCE: PCT Int. Appl., 360 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035864	A1	20000622	WO 1999-EP9843	19991213
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355161	A1	20000622	CA 1999-2355161	19991213
EP 1140809	A1	20011010	EP 1999-967934	19991213
EP 1140809	B1	20050831		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916367	A	20011030	BR 1999-16367	19991213
TR 200102498	T2	20020221	TR 2001-2498	19991213
HU 2001005432	A2	20020529	HU 2001-5432	19991213
HU 2001005432	A3	20021228		
EE 200100317	A	20020815	EE 2001-317	19991213
JP 2002532465	T	20021002	JP 2000-588126	19991213
NZ 512339	A	20030328	NZ 1999-512339	19991213
AU 761407	B2	20030605	AU 2000-24312	19991213
AT 303359	T	20050915	AT 1999-967934	19991213
ES 2249059	T3	20060316	ES 1999-967934	19991213
ZA 2001014432	A	20020530	ZA 2001-14432	20010530
IN 2001MN00637	A	20060505	IN 2001-MN637	20010601
BG 105574	A	20020131	BG 2001-105574	20010607
NO 2001002975	A	20010813	NO 2001-2975	20010615
MX 2001PA06132	A	20020108	MX 2001-PA6132	20010615
HR 2001000531	A1	20020831	HR 2001-531	20010716
PRIORITY APPLN. INFO.:			US 1998-213381	A 19981216

OTHER SOURCE(S): MARPAT 133:43809

AB Biphenyllyl compds. R1O2CCHR2-U-V-A-B-W-NR3-C-R4 [R1 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl; R2 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, alkenyl, alkynyl, -NR2'SO2R2'', -NR2'CO2R2', -NR2'COR2', -NR2'CONR2'2, -NR2'CSNR2'2 (R2' has same definition as R1 and R2'' has same definition as R1 except it is not H); U or W is a direct bond or (un)substituted alkylene; V = (un)substituted alkylene, -NR2'CO- or NR2'SO2-; A and B = (un)substituted 1,3- or 1,4-bridging phenylene group or a 2,4- or 2,5-bridging thienylene group, each of which may have substituents; C is a direct bond, CMe(:X-R5)-Y-N(R6)- (R5 is absent, H, (un)substituted alkyl or cycloalkyl, NO2, acyl, carboxylic or carboxylate group or is connected to R3, Y, R4 or R6, if present; R6 is H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R3, R4, Y, or R5, if present, to form a heterocyclic ring system; X = CHNO2, CHCN, O, N or S; Y is a direct bond or (un)substituted alkylene or alkyne group) or 3,4-dioxo-1,2-cyclobutenediyl-NR6-; R3, R4 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R4 (or R3), Y, R5 or R6, if present, to form a heterocyclic ring system] were prepared as integrin antagonists. Thus, (2R,S)-3-[3-(pyridin-3-ylmethylureido)biphenyl-4-yl]-2-[2,4,6-trimethylbenzenesulfonylamino]propanoic acid, prepared by reactions of resin-bound (2R,S)-3-(4-bromophenyl)-2-(9-fluorenylmethoxycarbonylamino)propanoic acid with sulfonylating, boronic acid, and amine reagents (mesitylenesulfonyl chloride, 3-nitrobenzeneboronic acid, and 2-aminomethylpyridine), showed IC50 = 5 nM for binding to the $\alpha v \beta 3$ receptor and IC50 = 480 nM in the smooth muscle cell migration test.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 44 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:31376 CAPLUS

DOCUMENT NUMBER: 132:78807

TITLE: Preparation of boronic acid containing

oligonucleotides and polynucleotides

INVENTOR(S): Kaiser, Robert J.; Stolowitz, Mark L.

PATENT ASSIGNEE(S): Prolinx Incorporated, USA

SOURCE: U.S., 27 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6013783	A	20000111	US 1999-272834	19990319
CA 2368101	A1	20000928	CA 2000-2368101	20000317
WO 2000056740	A1	20000928	WO 2000-US7370	20000317
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1165569	A1	20020102	EP 2000-916555	20000317
EP 1165569	B1	20050810		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO

JP 2002540113	T	20021126	JP 2000-606601	20000317
JP 3917372	B2	20070523		
AU 778741	B2	20041216	AU 2000-37645	20000317
AT 301664	T	20050815	AT 2000-916555	20000317
MX 2001PA09390	A	20030606	MX 2001-PA9390	20010918
PRIORITY APPLN. INFO.:			US 1999-272834	A 19990319
			US 1999-272978	A 19990319
			WO 2000-US7370	W 20000317

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to the field of nucleic acid immobilization, purification and detection and, more particularly, to boronic acid modified oligonucleotides and polynucleotides I, useful in bio-conjugation reactions, wherein; R is an aryl boronic acid moiety; Y is a member selected from the group consisting of O(CH₂)_q, S(CH₂)_q, and a carbon-carbon single bond, wherein q is an integer of 1 to 5; Z is a member selected from the group consisting of alkylene, alkyleneamido, alkyleneamidoalkylene and alkyleneamidoalkyleneamido having between 1 and 16 carbons atoms; X is a member selected from the group consisting of a methylene group and a carbon-carbon single bond; R1 is a member selected from the group consisting of hydrogen and hydroxyl; R2 is a member selected from the group consisting of hydroxyl and a monophosphate ester; n is an integer from about 0 to about 10; m is an integer from about 10 to about 1000; and B and B1 are members independently selected from the group consisting of adenine, guanine, thymine, cytosine, uracil and nucleotide analogs; wherein: R, Y and X can be the same or different for any given monomeric value of n; and R1 and B can be the same or different for any given monomeric value of m. The modified oligonucleotides and polynucleotides are useful in reactions for the immobilization and purification of macromols. Thus, 1-O-(4,4'-dimethoxytrityl)-2-N-[(4-dihydroxyboryl)-(benzopinacol cyclic ester)-benzoyl]-β-alanyl]serinol-3-O-(2-cyanoethyl)-N,N-diisopropylaminophosphoramidite was prepared and incorporated into oligodeoxyribonucleotide 5'-CGCCAGGGTTTCCAGTCACGAC-3'.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 45 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:261945 CAPLUS

DOCUMENT NUMBER: 133:58562

TITLE: New parts for a construction set of bifunctional oligo(het)arylene building blocks for modular chemistry

AUTHOR(S): Manickam, Govindaswamy; Schluter, A. Dieter

CORPORATE SOURCE: Freie Universitat Berlin, Institut fur Chemie/Organische Chemie, Berlin, D-14195, Germany

SOURCE: Synthesis (2000), (3), 442-446
 CODEN: SYNTBF; ISSN: 0039-7881

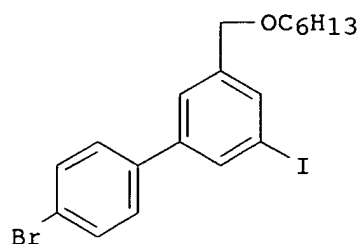
PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:58562

GI



AB The 100 mg to 5 g scale synthesis of a variety of arylene, e.g. I, and hetarylene building blocks by and for Suzuki/Stille type cross-coupling reactions is reported. These building blocks carry solubilizing hexyloxymethyl substituents as well as boronic acid ester and Br/I functionalities. This considerably widens the already existing construction set for the modular chemical approach to shape persistent and functional compds. on the nanometer scale.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 46 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:464267 CAPLUS

DOCUMENT NUMBER: 131:116517

TITLE: Preparation of N-acyl-phenylalanine derivatives as inhibitors of $\alpha 4$ -mediated cell adhesion

INVENTOR(S): Sircar, Ila; Gudmundsson, Kristjan S.; Martin, Richard

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 243 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9936393	A1	19990722	WO 1999-US993	19990119
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318527	A1	19990722	CA 1999-2318527	19990119
CA 2318527	C	20061017		
AU 9924584	A	19990802	AU 1999-24584	19990119
AU 749568	B2	20020627		
BR 9907040	A	20001017	BR 1999-7040	19990119
EP 1049662	A1	20001108	EP 1999-904115	19990119
EP 1049662	B1	20060621		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
JP 2002509131	T	20020326	JP 2000-540111	19990119
JP 3634749	B2	20050330		
NZ 506081	A	20030228	NZ 1999-506081	19990119
TW 591007	B	20040611	TW 1999-88100776	19990119
SG 118147	A1	20060127	SG 2002-4434	19990119
AT 330935	T	20060715	AT 1999-904115	19990119
PT 1049662	T	20060929	PT 1999-904115	19990119

ES 2264252	T3	20061216	ES 1999-904115	19990119
US 6521666	B1	20030218	US 2000-619712	20000719
MX 2000PA07138	A	20010328	MX 2000-PA7138	20000720
HK 1029979	A1	20061110	HK 2001-100247	20010110
US 2003191118	A1	20031009	US 2002-286777	20021104
US 6855843	B2	20050215		
JP 2005002116	A	20050106	JP 2004-202046	20040708
PRIORITY APPLN. INFO.:			US 1998-71840P	P 19980120
			JP 2000-540111	A3 19990119
			WO 1999-US993	W 19990119
			US 2000-619712	A3 20000719

OTHER SOURCE(S): MARPAT 131:116517

GI For diagram(s), see printed CA Issue.

AB The present invention relates to a pharmaceutical composition comprising as an active ingredient a compound of formula [I; wherein ring A is an aromatic or a heterocyclic ring; Q is a bond, carbonyl, lower alkylene optionally substituted by HO or Ph, lower alkenylene, or -O-(lower alkylene)-; n is 0, 1 or 2; Z is oxygen or sulfur; W is oxygen, sulfur, -CH:CH-, -NH- or -N:CH-; R1, R2 and R3 are the same or different and are hydrogen, halogen, hydroxyl, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted lower alkoxy group, a substituted or unsubstituted amino group, CO2H or an amide, or an ester thereof, cyano, lower alkylthio, lower alkanesulfonyl, substituted or unsubstituted SO2NH2, etc.; R4 is tetrazolyl, carboxyl group, amide or ester; R5 is hydrogen, nitro, amino, hydroxyl, lower alkanoyl, lower alkyl, etc.; R6 is selected from (a) a substituted or unsubstituted Ph group, (b) a substituted or unsubstituted pyridyl group, (c) a substituted or unsubstituted thienyl group, (d) a substituted or unsubstituted benzofuranyl group, etc.; or a pharmaceutically acceptable salt thereof]. These phenylalanine derivs. are useful for treating or preventing conditions caused by $\alpha 4$ -mediated cell adhesion such as rheumatoid arthritis, asthma, psoriasis, eczema, contact dermatitis and other skin inflammatory diseases, diabetes, multiple sclerosis, systemic lupus erythematosus (SLE), inflammatory bowel disease including ulcerative colitis and Crohn's disease, and other diseases involving leukocyte infiltration of the gastrointestinal tract, or other epithelial lined tissues, such as skin, urinary tract, respiratory airway, and joint synovium. N-(tert-butoxycarbonyl)-O-(trifluoromethanesulfonyl)-L-tyrosine Me ester (preparation given) was coupled with 2-methoxybenzene boronic acid in toluene/DMF in the presence of K2CO3 and Pd(PPh3)4 at 80 °C for 24 h to give N-(tert-butoxycarbonyl)-4-(2-methoxyphenyl)-L-phenylalanine Me ester. The latter compound was treated with CF3CO2H in CH2Cl2 for 1.5 h to remove the Boc group and then condensed with 2,6-dichlorobenzoyl chloride in the presence of diisopropylethylamine at room temperature for 24 h to give N-(2,6-dichlorobenzoyl)-4-(2-methoxyphenyl)-L-phenylalanine Me ester (II) which was saponified with LiOH in THF/MeOH at room temperature for 3 h, evaporated, treated with H2O, adjusted Ph 2, and extracted with EtOAc to give N-(2,6-dichlorobenzoyl)-4-(2-methoxyphenyl)-L-phenylalanine (III). II and III in vitro inhibited at IC50 of $1 \geq$ and $0.3 \geq \mu\text{M}$, resp., $\beta 7$ -mediated cell adhesion which measured the adhesive interactions of a B-cell line, RPMI, known to express $\alpha 4\beta 7$, to the alternatively spliced region of fibronectin referred to as CS-1, in the presence of test compds.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 47 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:747428 CAPLUS

DOCUMENT NUMBER: 131:358224

TITLE: Preparation of aromatic tertiary amine compounds

possessing nitrogen-containing 7-member ring structure

INVENTOR(S): Sato, Tadahisa
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
 CODEN: JKXXAF

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 11322719	A	19991124	JP 1998-129335	19980512
PRIORITY APPLN. INFO.:			JP 1998-129335	19980512
OTHER SOURCE(S):	MARPAT 131:358224			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title dibenzazepine compds. (I; A, B = ethylene, CH:CH, o-arylene; R1 - R9 = H, halo, alkyl, aryl, alkoxy, aryloxy, dialkylamino, N-alkyl-N-arylamino, diarylamino; m, n, q = 1-4; p = 0-2) are prepared These compds. exhibit high m.p. and glass transition temperature and high stability against phys., optical, and electrochem. changes and are useful as hole transport materials for electroluminescence materials or carrier transport materials for electrophotog. materials (no data). They form a stable amorphous thin film in large area by vapor deposition which is excellent in thermal stability due to high m.p. and glass transition temperature and enables one to design organic electroluminescent device with long-lasting luminescence. Thus, 5H-dibenz[b,f]azepine, 1,4-dibromobenzene, KOH, and Cu powder were mixed with decaline and heated at 200° for 40 h to give 25% 5-(4-bromophenyl)-5H-dibenz[b,f]azepine which was treated with BuLi in hexane at -78°, condensed with tri-Me borate, and subjected to hydrolysis with dilute aqueous HCl to give a crude boronic acid. The latter compound was coupled with 4,4'-dibromotriphenylamine in the presence of (PPh3)4Pd in a mixture of toluene and 2 M aqueous NaOH under reflux for .apprx.10 h to give the title compound (II) (m.p. .apprx.275°).

L11 ANSWER 48 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:93527 CAPLUS
 TITLE: Novel poly(arylene)s with pendant trifluoromethyl groups
 AUTHOR(S): Maier, Gerhard; Banerjee, Susanta; Burger, Martin
 CORPORATE SOURCE: Lehrstuhl fur Makromolekulare Stoffe, Technische Universitat Munchen, Garching, D-85747, Germany
 SOURCE: Book of Abstracts, 217th ACS National Meeting, Anaheim, Calif., March 21-25 (1999), PMSE-158.
 American Chemical Society: Washington, D. C.
 CODEN: 67GHA6

DOCUMENT TYPE: Conference; Meeting Abstract
 LANGUAGE: English

AB Novel bishalo monomers containing pendant trifluoromethyl groups have been synthesized successfully using Pd(0) catalyzed cross coupling reaction of 4-chloro-3-trifluoromethyl Ph boronic acid with 1,4-dibromobenzene, 2,6-dibromopyridin and 2,5-dibromothiophene, resp. These monomers lead to new poly(arylene) structures using Ni(0) catalyzed polymerization The monomer based on 1,4-dibromobenzene leads to a

trifluoromethyl substituted poly(para-phenylene) derivative The others are derived from this structure by replacement of one out of every three Ph rings by a pyridine or a thiophene ring. The resulting polymeres have low mol. weight but exhibit good thermal stability. They are easily soluble in a wide range of organic solvents. Possible applications for such polymers will be discussed.

L11 ANSWER 49 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2001:171629 CAPLUS

Correction of: 1997:564938

DOCUMENT NUMBER: 134:178462

Correction of: 127:176339

TITLE: Preparation of [(pyrrolidinoalkoxy)phenyl]benzothiophenes and analogs as thrombin inhibitors

INVENTOR(S): Bastian, Jolie A.; Chirgadze, Nickolay Y.; Denney, Michael L.; Foglesong, Robert J.; Harper, Richard W.; Johnson, Mary G.; Klimkowski, Valentine J.; Kohn, Todd J.; Lin, Ho-shen; Lynch, Michael P.; Mccowan, Jefferson R.; Palkowitz, Alan D.; Richett, Michael E.; Sall, Daniel J.; Smith, Gerald F.; Takeuchi, Kumiko; Tinsley, Jennifer M.; Zhang, Minsheng

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

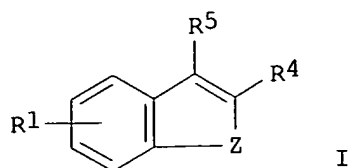
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725033	A1	19970717	WO 1996-US17995	19961030
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2236007	A1	19970717	CA 1996-2236007	19961030
AU 9677255	A	19970801	AU 1996-77255	19961030
ZA 9609143	A	19980430	ZA 1996-9143	19961030
EP 863755	A1	19980916	EP 1996-940354	19961030
EP 863755	B1	20041215		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, RO				
JP 2002500618	T	20020108	JP 1997-525183	19961030
AT 284690	T	20050115	AT 1996-940354	19961030
ES 2233982	T3	20050616	ES 1996-940354	19961030
US 6025382	A	20000215	US 1997-846647	19970430
US 6251921	B1	20010626	US 1999-312593	19990514
US 6265575	B1	20010724	US 1999-369416	19990805
PRIORITY APPLN. INFO.:			US 1995-7120P	P 19951031
			US 1996-28252P	P 19961009
			WO 1996-US17995	W 19961030
			US 1997-836680	A2 19970430
			US 1997-846647	A3 19970430

OTHER SOURCE(S): MARPAT 134:178462

GI



AB Title compds. [I; R1 = 1 or 2 of H, halo, Me, OMe, CONH2, etc.; R4 = Z1Z2(CH2)j(CHR2)k(CH2)mNRaRb; R2 = OH, CH2OH, CO2Me; R5 = Z3Z4Z5(CH2)q(CHR3CHR3)rRcRd; R3 = H, alkyl, etc.; R3R3 = (CH2)3-4; Ra,Rb,Rc,Rd = H or alkyl; NRaRb,NRcRd = heterocyclyl; Z = O, S, CH:CH, CH2CH2; Z1,Z4 = 1,4-phenylene, (hetero)arylene; Z2 = bond, NH, CH2, O, S, NHCO; Z3 = O, S, CH2, CO, C:CH2; Z5 = bond, NH, CH2, O, S, etc.; j,k,r = 0 or 1; m = 0-4; q = 0-2] were prepared Thus, benzo[b]thiophene-2-boronic acid was condensed with 4-BrC6H4OMe and the product acylated by 4-(MeO)C6H4COCl to give, after hydrolysis, I [R1 = H, R4 = C6H4(OH)-4, R5 = COC6H4(OH)-4]. The latter was etherified by 1-(2-chloroethyl)pyrrolidine to give, after reduction, I [R1 = H, R4 = R, R5 = CH2R, R = 4-(2-pyrrolidinoethyl)phenyl]. Data for biol. activity of 2 prepared I were given.

L11 ANSWER 50 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:805744 CAPLUS

DOCUMENT NUMBER: 128:61525

TITLE: Preparation of piperazine, piperidine and tetrahydropyridine derivatives as 5-HT receptor agonists

INVENTOR(S): Bourrain, Sylvie; MacLeod, Angus Murray; Showell, Graham Andrew; Street, Leslie Joseph

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

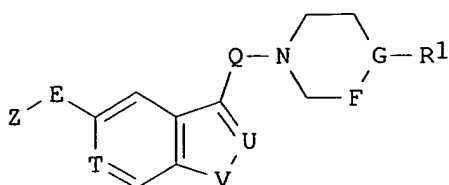
DOCUMENT TYPE: Patent

LANGUAGE: English

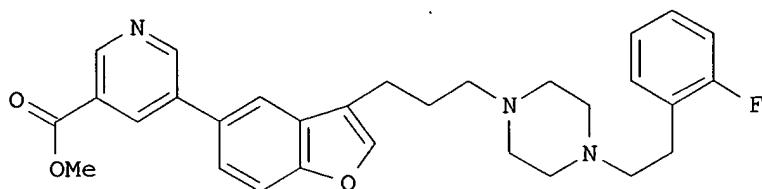
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745432	A1	19971204	WO 1997-GB1329	19970515
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2255695	A1	19971204	CA 1997-2255695	19970515
AU 9729034	A	19980105	AU 1997-29034	19970515
EP 906318	A1	19990407	EP 1997-923162	19970515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 5998416	A	19991207	US 1998-171930	19981026
PRIORITY APPLN. INFO.:			GB 1996-10978	A 19960524
			WO 1997-GB1329	W 19970515
OTHER SOURCE(S):	MARPAT	128:61525		
GI				



I



II

AB The title compds. [I; Z = 6-membered heteroaryl selected from pyridine, pyrazine, pyrimidine and pyridazine; E = a bond, C1-4 alkylene; Q = (un)substituted C1-6 alkylene; T = N, CH; U = N, CR₂; V = O, S, NR₃; FG = CH₂N, CH₂CH, CH:C; R₁ = (un)substituted C3-6 alkenyl, C3-6 alkynyl, aryl(C1-6)alkyl, heteroaryl(C1-6)alkyl; R₂, R₃ = H, C1-6 alkyl] which are selective agonists of 5-HT_{1D}-like receptors, being potent agonists of the human 5-HT_{1D} receptor subtype while possessing at least a 10-fold selective affinity for the 5-HT_{1D} receptor subtype relative to the 5-HT_{1D} subtype, therefore are useful in the treatment and/or prevention of clin. conditions, in particular migraine and associated disorders, for which a subtype-selective agonist of 5-HT_{1D} receptors is indicated, while eliciting fewer side-effects, notably adverse cardiovascular events, than those associated with non-subtype-selective 5-HT_{1D} receptor agonists, were prepared Thus, treatment of 1-[3-(5-bromobenzofuran-3-yl)propyl]-4-[2-(3-fluorophenyl)ethyl]piperazine with sec-BuLi/cyclohexane in THf followed by addition of (iPrO)₃B, and reaction of the resulting crude boronic acid with Et 5-bromonicotinate in the presence of Na₂CO₃, Pd(PPh₃)₄ in ethylene glycol di-Me ether and H₂O afforded the title compound II. Compds. I described in accompanying examples showed IC₅₀ of < 50 nM against 5-HT_{1D} receptor subtype binding.

L11 ANSWER 51 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:858535 CAPLUS

DOCUMENT NUMBER: 123:257415

TITLE: Preparation of boropeptide thrombin inhibitors containing a substituted pyrrolidine ring.

INVENTOR(S): Pacofsky, Gregory James; Pruitt, James Russell; Weber, Patricia Carol

PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA

SOURCE: PCT Int. Appl., 139 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

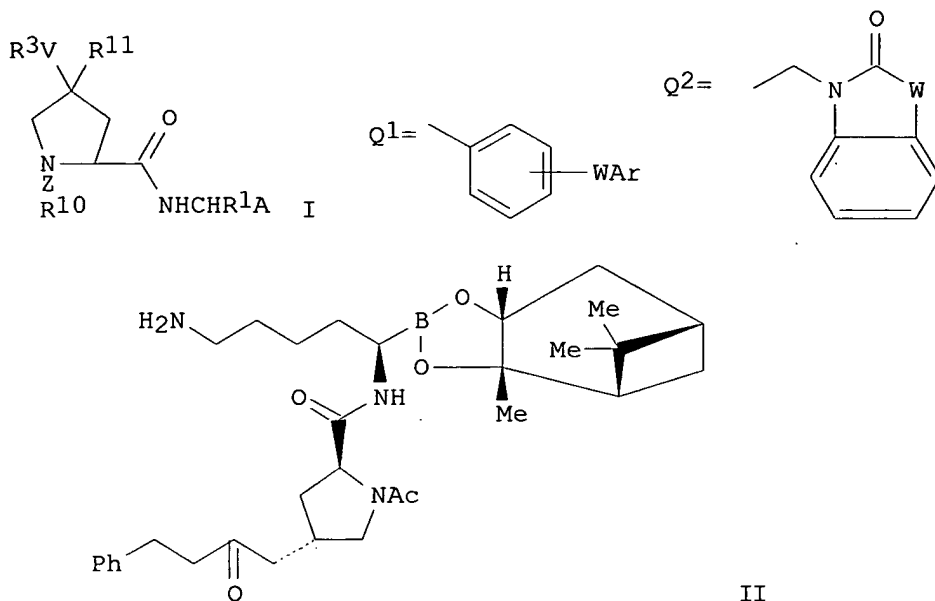
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9509859	A1	19950413	WO 1994-US11049	19941006
W: AU, CA, JP, NZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

CA 2174311	A1	19950413	CA 1994-2174311	19941006
AU 9479227	A	19950501	AU 1994-79227	19941006
EP 722449	A1	19960724	EP 1994-929943	19941006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ZA 9407876	A	19960409	ZA 1994-7876	19941007
PRIORITY APPLN. INFO.:			US 1993-133250	A 19931007
			US 1993-139443	A 19931020
			WO 1994-US11049	W 19941006

OTHER SOURCE(S): MARPAT 123:257415
GI



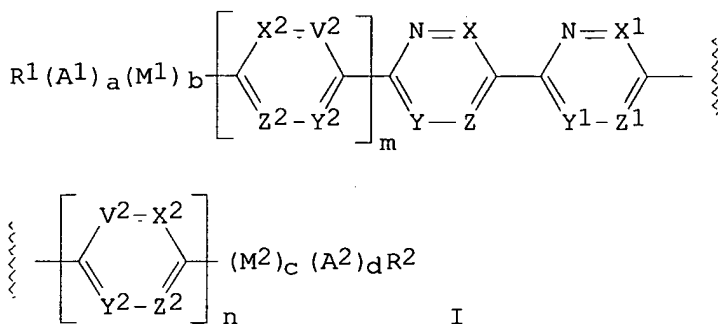
AB Title compds. [I; R₁ = QX, (CH₂)_mC₆H₄(CH₂)_nX; Q = alkylene; X = halo, cyano, NO₂, CF₃, SO₂R₂, NHR₂, NHCH(:NH), OR₂, CO₂R₂, etc.; R₂ = H, CF₃, alkyl, aralkyl; R₃, R₁₀ = H, halo, (CR₆R₇)tW(CR₈R₉)uR₉, Q₁, Q₂, etc.; R₃R₁₀ = atoms to form rings; R₆-R₉ = H, alkyl, alkoxy, cycloalkyl, aryl, heterocyclyl, heteroaryl, W-Ar, etc.; pairs of R₆-R₉ on adjacent C atoms = double or triple bonds; R₁₁ = H, alkyl, thioalkyl, (CR₆R₇)tW(CR₈R₉)uR₉, etc.; Ar = (substituted) Ph, fluorenyl, biphenyl, naphthyl; W = (CH₂)_x, CO, CO₂, O, SO₂, imino, etc.; V = (CH₂)_x, (CH₂)_xCO, (CH₂)_xCO₂, O(CH₂)_xCO, etc.; Z = (CH₂)_x, (CH₂)_xCO, (CH₂)_xSO₂, etc.; A = BY₁Y₂, COCF₃, PO₃H₂, CHO, CH₂Cl, SO₂F, etc.; Y₁, Y₂ = OH, F, amino, alkoxy; BY₁Y₂ = cyclic ester, amide, or ester-amide; m, n, x, w = 0-4; p = 0-2; t, u = 0-6; with provisos], were prepared as inhibitors of serine proteases, notably human thrombin, plasma kallikrein, and plasmin (no data). Thus, (4R)-N-acetyl-4-(3-phenylpropionyl)oxy-(L)-proline (preparation given) was coupled with (1R)-5-bromo-1-aminopentane-1-boronic acid (+)-pinanediol ester using 4-methylmorpholine/isobutyl chloroformate in THF/DMF. The product (1R)-5-bromo-[(4R)-N-acetyl-4-(3-phenylpropionyl)oxy-(L)-prolyl]aminopentane-1-boronic acid (+)-pinanediol ester was stirred with NaN₃ in DMF and the resulting azide was hydrogenated over Pd/C to give N1-[(4R)-N-acetyl-4-(3-phenylpropionyl)oxy-(L)-prolyl]-R-borolysine (+)-pinanediol ester (II).

L11 ANSWER 52 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1994:508824 CAPLUS
 DOCUMENT NUMBER: 121:108824
 TITLE: Preparation of poly(heteroaryl) compounds as liquid

crystal components
 INVENTOR(S): Manero, Javier; Schlosser, Hubert; Wingen, Rainer
 PATENT ASSIGNEE(S): Hoechst A.-G., Germany
 SOURCE: Ger. Offen., 17 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4236106	A1	19940428	DE 1992-4236106	19921026
US 5512207	A	19960430	US 1993-141776	19931022
JP 06199837	A	19940719	JP 1993-266939	19931026
PRIORITY APPLN. INFO.:			DE 1992-4236106	A 19921026
OTHER SOURCE(S):	MARPAT	121:108824		

GI



AB Title compds. [I; A1,A2 = (un)substituted 1,4-C6H4, heteroarylene, etc.; M1,M2 = O, S, CO, CO2, CH2O, CH:CH, C.tplbond.C, etc.; R1,R2 = H, alkyl in which ≥1 CH2 may be replaced by O, CO, CH:CH, C.tplbond.C, 1,4-C6H4, etc.; X,Y,Z,X1,Y1,Z1,V2,X2,Y2,Z2 = CH, CF, N; a-d,m,n = 0 or 1; a+d, m+n = 0 or 1] were claimed and 1 I was prepared Thus, 2-decyloxy pyridine-5-boronic acid was heated 24h at 80° with 3,6-dichloropyridazine in PhMe/EtOH/H2O containing (Ph3P)4Pd and Na2CO3 to give 3,6-bis(2-decyloxy pyridin-5-yl)pyridazine.

L11 ANSWER 53 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:244319 CAPLUS
 DOCUMENT NUMBER: 120:244319
 TITLE: Process and catalysts for the cross coupling of boronic acids and halogen compounds
 INVENTOR(S): Klabunde, Kay Uwe; Witzel, Hans
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
 SOURCE: Ger. Offen., 6 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

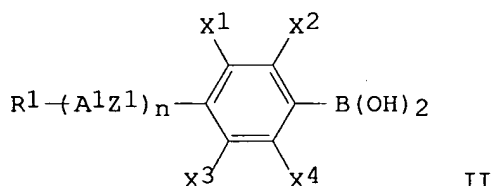
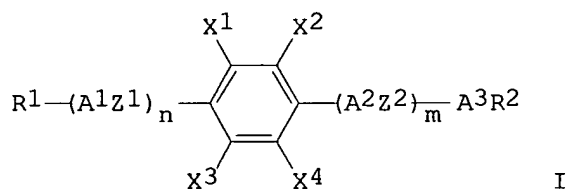
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4220082	A1	19931223	DE 1992-4220082	19920619

DE 4220082	C2	19940915		
WO 9400423	A1	19940106	WO 1993-EP1432	19930607
W: JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 601156	A1	19940615	EP 1993-912904	19930607
R: CH, DE, FR, GB, LI				
JP 07502751	T	19950323	JP 1994-501980	19930607
JP 3833698	B2	20061018		

PRIORITY APPLN. INFO.:

DE 1992-4220082	A	19920619
EP 1993-107956	A	19930515
WO 1993-EP1432	W	19930607

OTHER SOURCE(S): MARPAT 120:244319
GI



AB Ph derivs. I [A1-A3 = (un)substituted trans-1,4-cyclohexylene, (un)substituted 1,4-phenylene, 1,4-cyclohexenylene, etc.; R1, R2, X1-X4 = halogen-substituted alkyl, alkoxy, alkylene; Z1, Z2 = CO2, O2C, CH2O, OCH2, CH2CH2, CH:CH, C.tplbond.C, direct bond; m, n = 0-2], which possess liquid crystal properties, are prepared by the cross-coupling reaction of a boronic acid II with a halogen compound Y(A2Z2)mA3R2 (Y = Br, iodo) in a solvent-water mixture in the presence of transition metal catalysts and a water-soluble borate. Thus, 4-(trans-4'-n-pentylcyclohexyl)-2,6-difluorobenzeneboronic acid was reacted with 1-bromo-3,4-difluorobenzene in the presence of tetrakis(triphenylphosphine)palladium and borax, producing 4-(trans-4'-n-pentylcyclohexyl)-2,6,3',4'-tetrafluorodiphenyl, m.p. 72°, Δε = 12.39, Δn = +0.108.

L11 ANSWER 54 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:573438 CAPLUS
DOCUMENT NUMBER: 117:173438
TITLE: Water-soluble boronic acid dyes for ink-jet printing
INVENTOR(S): Pawlowski, Norman E.; Russell, Dale D.; Robotti, Karla M.
PATENT ASSIGNEE(S): Hewlett-Packard Co., USA
SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 495,051, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5108502	A	19920428	US 1991-751457	19910828
JP 05179152	A	19930720	JP 1991-75597	19910315
JP 05214261	A	19930824	JP 1992-253794	19920828
PRIORITY APPLN. INFO.:			US 1990-495051	B2 19900316
			US 1991-751457	A 19910828

OTHER SOURCE(S): MARPAT 117:173438

AB The title dyes, especially azo dyes, contain a sulfonate-free arene group of formula $[(OH)2B]nArN:N(Ar1N:N)mAr2$; wherein Ar = (un)substituted phenylene, Ar1 = (un)substituted arylene free of sulfonate, Ar2 = (un)substituted aryl free of sulfonate, m = 0, 1-4, n = 1-2. Thus, 4-H2NC6H4B(OH)2 was diazotized and coupled with 2-naphthol to give a water-soluble dye, which was added (0.05%) to diethylene glycol and H2O to form an ink and printed to give images having waterfastness (ASTM D2244-85 color difference) ΔE 2.8, vs. 14.0 for sulfonate group instead of boronic acid group.

L11 ANSWER 55 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:601611 CAPLUS

DOCUMENT NUMBER: 111:201611

TITLE: Aminocyclopentyl ethers, their preparation and antithrombotic and antiasthmatic pharmaceuticals containing them

INVENTOR(S): Collington, Eric William; Mills, Keith; Finch, Harry; Woodings, David Francis; Hayes, Roger

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK

SOURCE: Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 297855	A1	19890104	EP 1988-305919	19880629
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01207283	A	19890821	JP 1988-159537	19880629
PRIORITY APPLN. INFO.:			GB 1987-15335	A 19870630

OTHER SOURCE(S): MARPAT 111:201611

GI For diagram(s), see printed CA Issue.

AB Title compds. I (W, Z = alkylene; X = CH:CH, CH2CH2; Y = saturated N heterocyclic, attached via the N atom and the ring optionally contains O, S, SO2, NR2, or is substituted by ≥ 1 alkyl; R = OH, OCOR3, CO2R3, CONR3R4, SO2NR3R4, NHCOR3, NHSO2R5, SO2R5, SR5, NR3R4, COR5, NHCONR3R4, NHCSNH2; R2-R4 = alkyl, aralkyl; R5 = alkyl; COR1 = acid, ester, thioester or amide group; l = 0, 1; m = 0-4; n = 1, 2) are prepared I, including their salts, solvates and cyclodextrin complexes, inhibit blood platelet aggregation and bronchoconstriction. $[1R-[1\alpha-(Z), 2\beta, 3\beta, 5\alpha]]-(+)-7-[5-[(4\text{-Bromophenyl})\text{methoxy}]-3\text{-hydroxy-}2\text{-(1-piperidinyl)cyclopentyl}]-4\text{-heptenoic acid-HCl}$ was prepared via a 6-step synthesis as an intermediate. The bimol. anhydride of $[4-[(1,1\text{-dimethylethyl})\text{dimethylsilyl}]\text{oxy}]\text{phenyl}]\text{boronic acid}$ was prepared as an intermediate from 1-bromo-4- $[(1,1\text{-dimethylethyl})\text{dimethylsilyl}]\text{oxy}]\text{benzene}$ and triiso-Pr borate. A mixture of the above intermediates, $(Ph3P)4Pd$, aqueous $Na2CO3$, and $MeOCH2CH2OMe$ was refluxed under $N2$ to give $[1R-[1\alpha-(Z), 2\beta, 3\beta, 5\alpha]]-(+)-7-[3\text{-hydroxy-}5-[(4'\text{-hydroxy}[1,1\text{-biphenyl}]-4\text{-yl})\text{methoxy}]-2\text{-(1-piperidinyl)cyclopentyl}]-4\text{-heptenoic acid}$. Direct compression tablets contained the active agent 100.00, microcryst. cellulose 298.00. and Mg

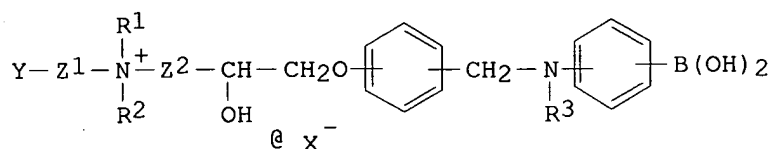
stearate 2.00 mg each.

L11 ANSWER 56 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:96490 CAPLUS
DOCUMENT NUMBER: 110:96490
TITLE: Stable boronic acid resins as selective adsorbents
INVENTOR(S): Carobbi, Renato
PATENT ASSIGNEE(S): SIRAC S.p.A., Italy
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 284959	A1	19881005	EP 1988-104553	19880322
EP 284959	B1	19920129		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4937294	A	19900626	US 1988-171447	19880321
AT 72250	T	19920215	AT 1988-104553	19880322
CA 1291126	C	19911022	CA 1988-562951	19880330
JP 63273605	A	19881110	JP 1988-81442	19880404
JP 06017398	B	19940309		
US 5011535	A	19910430	US 1990-527837	19900524
PRIORITY APPLN. INFO.:				IT 1987-19965 A 19870403
				US 1988-171447 A2 19880321
				EP 1988-104553 A 19880322

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I

AB The resins I [Y = acrylic polymer residue; R1-2 = C1-5 alkyl; R3 = R1, H; Z1 = Z2 or direct bond; Z2 = C1-5 alkylene; X = OH, halogen] are stable in solvents and aqueous acids and alkalies and useful for separating sugars.

Passing 50 mL solution of lactulose (II) 50, lactose (III) 4, galactose (IV) 4.5, and other sugars 7% over a column of I (prepared from an aminated acrylic polymer, epihalohydrin, and boronic acid, 3.5 mequiv. B/g, pore diameter 1000 Å) at pH 8 for 60 min and eluting at pH 8 gave II 21, III 2.4, and IV 2.6 g, then elution with 1N HCl gave 11 g II and 0.2 g IV.

L11 ANSWER 57 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:185491 CAPLUS
DOCUMENT NUMBER: 102:185491
ORIGINAL REFERENCE NO.: 102:29120h,29121a
TITLE: Amino acid isomers, and their medicinal use
INVENTOR(S): Collins, James F.; Curry, Kenneth; Schwarcz, Robert
PATENT ASSIGNEE(S): UK
SOURCE: U.S., 8 pp. Cont.-in-part of U.S. Ser. No. 356,036.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4483853	A	19841120	US 1982-434361	19821014
US 4477391	A	19841016	US 1982-356036	19820308
DE 3229893	A1	19830331	DE 1982-3229893	19820811
PRIORITY APPLN. INFO.:			GB 1981-24899	A 19810814
			US 1982-356036	A2 19820308
			DE 1982-3229893	A 19820811

OTHER SOURCE(S): MARPAT 102:185491

AB D-(-)-RZCH(NHR1)CO2R2 [R = acid radical, e.g., P(O)(OH)2, SO3H, boronic acid, or tetrazole; Z = alkylene, alkenylene, alkynylene; R1, R2 = H or lipophilic radical] were prepared as agents for treating diseases of the central nervous system. Thus, (EtO)2PO was treated with Na in Et2O to give the Na salt, which was treated in situ with Br(CH2)5Br to give (EtO)2P(O)(CH2)5Br, which was treated with AcNHC(CO2Et)2Na in EtOH to give (EtO)2P(O)(CH2)5C(NHAc)(CO2Et)2. The latter was hydrolyzed by refluxing 6M HCl to give (±)-(HO)2P(O)(CH2)5CH(NH2)CO2H [(±)-I], which was resolved via its L-lysine salt to give D-(-)-I. D-(-)-I exhibited anticonvulsant activity; a min. dose of 0.0033 μ mole was need to suppress an initial wild running phase in mice.

L11 ANSWER 58 OF 58 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1970:79138 CAPLUS
DOCUMENT NUMBER: 72:79138
ORIGINAL REFERENCE NO.: 72:14421a,14424a
TITLE: Organoboron compounds. VIII. Aliphatic and aromatic diboronic acids
AUTHOR(S): Coutts, I. G. C.; Goldschmid, H. R.; Musgrave, Oliver C.
CORPORATE SOURCE: Chem. Dep., Univ. Aberdeen, Aberdeen, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1970), (3), 488-93
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 72:79138

AB Diboronic acids (HO)2BAB(OH)2 (where A = polymethylene, arylene, or thiophen-2,5-diyl) are prepared by reactions of (MeO)3B with difunctional Grignard reagents and are characterized by the formation of cyclic esters with various diols. The polymethylenedi-boronic acids are much more resistant to air oxidation than are the corresponding alkylboronic acids. All the diboronic acids undergo dehydration to the corresponding polymeric anhydrides, the aromatic compounds requiring temps. >230°. Reactions which result in deboronation or in the formation of amine complexes are described. Thiophene-2,5-diyl diboronic acid undergoes hydrogenolysis on treatment with Raney Ni to give tetramethylene-diboronic acid.

=> s l6 (p) boronic (8w) acid (p) (sens? or detect? or measur? or monitor?)
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'L22 (P) BORONIC'
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'ACID (P) '
2 FILES SEARCHED...
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH

FIELD CODE - 'AND' OPERATOR ASSUMED 'L23 (P) BORONIC'
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'ACID (P) '
L12 5 L6 (P) BORONIC (8W) ACID (P) (SENS? OR DETECT? OR MEASUR? OR
MONITOR?)

=> display l12 1-12 ibib abs

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:568380 CAPLUS
DOCUMENT NUMBER: 137:149337
TITLE: Preparation of porphyrin derivatives as reagents for
recognizing Lewis sugar
INVENTOR(S): Shinkai, Seiji; Komoto, Kazuya; Sugasaki, Atsushi;
Ikeda, Susumu; Takeuchi, Masayuki
PATENT ASSIGNEE(S): Japan Science and Technology Corporation, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002214233	A	20020731	JP 2001-9661	20010118
JP 3884621	B2	20070221		
PRIORITY APPLN. INFO.:			JP 2001-9661	20010118
OTHER SOURCE(S):	MARPAT	137:149337		

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Porphyrin derivs. having boronic acid groups, i.e.
B(OH)₂, at least at two meso positions which coordinate to metal to form
dimers of a sandwich structure represented by general formula (I; M1 =
transition metal; R1 = R2 = R3 = Q and R4 = Q1; R1 = R3 = Q and R2 = R4 =
Q1; R1 = R2 = Q1 and R3 = R4 = Q; or R1 = R2 = R3 = R4 = Q) or are linked
at meso-meso position to form dimers represented by general formula (II;
M1 = H₂, transition metal; X = alkylene, vinylene, acetylene)
are prepared These porphyrin dimers recognize Lewis sugars which are
important biol. active oligosaccharides and are useful for
detection or separation of Lewis sugars. Thus, pyrrole and CF₃CO₂H
were added to p-anisaldehyde and stirred at room temperature for 2 h to give
(4-methoxyphenyl)di(2-pyrrolyl)methane which was heated with
pyridine-4-carboxaldehyde and pyrrole in propionic acid under reflux for 4
h to give 5.6% 5,15-bis(4-methoxyphenyl)-10,20-di(4-pyridyl)porphyrin
(III). III was added to 1,2,4-trichlorobenzene, stirred, and treated
dropwise with 1.54 BuLi/hexane under stirring, followed by adding
Ce(acac)₃·3H₂O after formation of bubbles ceased, and the resulting mixture
was refluxed for 6 h to give 27% bis[5,15-bis(4-methoxyphenyl)-10,20-di(4-
pyridyl)porphyrinato]cerium which was heated with protected
p-bromomethylphenylboronic acid (IV) in DMF at 55° to give I (M1 =
Ce, R1 = R3 = Q1, R2 = R4 = Q2) (V). V formed complexes with various
Lewis sugars including SLe_x, Le_x, Sulfo Le_x, SLe_a, Le_a, and Sulfo Le_a
which were confirmed by observation of the presence of pos. allosteric
effect based on ≥1 of Hill coefficient in Hill plots.

L12 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:31376 CAPLUS
 DOCUMENT NUMBER: 132:78807
 TITLE: Preparation of boronic acid containing
 oligonucleotides and polynucleotides
 INVENTOR(S): Kaiser, Robert J.; Stoloowitz, Mark L.
 PATENT ASSIGNEE(S): Prolinx Incorporated, USA
 SOURCE: U.S., 27 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6013783	A	20000111	US 1999-272834	19990319
CA 2368101	A1	20000928	CA 2000-2368101	20000317
WO 2000056740	A1	20000928	WO 2000-US7370	20000317
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1165569	A1	20020102	EP 2000-916555	20000317
EP 1165569	B1	20050810		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002540113	T	20021126	JP 2000-606601	20000317
JP 3917372	B2	20070523		
AU 778741	B2	20041216	AU 2000-37645	20000317
AT 301664	T	20050815	AT 2000-916555	20000317
MX 2001PA09390	A	20030606	MX 2001-PA9390	20010918
PRIORITY APPLN. INFO.:			US 1999-272834	A 19990319
			US 1999-272978	A 19990319
			WO 2000-US7370	W 20000317

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to the field of nucleic acid immobilization, purification and detection and, more particularly, to boronic acid modified oligonucleotides and polynucleotides I, useful in bio-conjugation reactions, wherein; R is an aryl boronic acid moiety; Y is a member selected from the group consisting of O(CH₂)_q, S(CH₂)_q, and a carbon-carbon single bond, wherein q is an integer of 1 to 5; Z is a member selected from the group consisting of alkylene, alkyleneamido, alkyleneamidoalkylene and alkyleneamidoalkyleneamido having between 1 and 16 carbons atoms; X is a member selected from the group consisting of a methylene group and a carbon-carbon single bond; R1 is a member selected from the group consisting of hydrogen and hydroxyl; R2 is a member selected from the group consisting of hydroxyl and a monophosphate ester; n is an integer from about 0 to about 10; m is an integer from about 10 to about 1000; and B and B1 are members independently selected from the group consisting of adenine, guanine, thymine, cytosine, uracil and nucleotide analogs; wherein: R, Y and X can be the same or different for any given monomeric

value of n; and R1 and B can be the same or different for any given monomeric value of m. The modified oligonucleotides and polynucleotides are useful in reactions for the immobilization and purification of macromols. Thus, 1-O-(4,4'-dimethoxytrityl)-2-N-[(4-dihydroxyboryl-(benzopinacol cyclic ester)-benzoyl)- β -alanyl]serinol-3-O-(2-cyanoethyl)-N,N-diisopropylaminophosphoramidite was prepared and incorporated into oligodeoxyribonucleotide 5'-CGCCAGGGTTTCCAGTCACGAC-3'.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:464267 CAPLUS

DOCUMENT NUMBER: 131:116517

TITLE: Preparation of N-acyl-phenylalanine derivatives as inhibitors of α 4-mediated cell adhesion

INVENTOR(S): Sircar, Ila; Gudmundsson, Kristjan S.; Martin, Richard

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 243 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9936393	A1	19990722	WO 1999-US993	19990119
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318527	A1	19990722	CA 1999-2318527	19990119
CA 2318527	C	20061017		
AU 9924584	A	19990802	AU 1999-24584	19990119
AU 749568	B2	20020627		
BR 9907040	A	20001017	BR 1999-7040	19990119
EP 1049662	A1	20001108	EP 1999-904115	19990119
EP 1049662	B1	20060621		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
JP 2002509131	T	20020326	JP 2000-540111	19990119
JP 3634749	B2	20050330		
NZ 506081	A	20030228	NZ 1999-506081	19990119
TW 591007	B	20040611	TW 1999-88100776	19990119
SG 118147	A1	20060127	SG 2002-4434	19990119
AT 330935	T	20060715	AT 1999-904115	19990119
PT 1049662	T	20060929	PT 1999-904115	19990119
ES 2264252	T3	20061216	ES 1999-904115	19990119
US 6521666	B1	20030218	US 2000-619712	20000719
MX 2000PA07138	A	20010328	MX 2000-PA7138	20000720
HK 1029979	A1	20061110	HK 2001-100247	20010110
US 2003191118	A1	20031009	US 2002-286777	20021104
US 6855843	B2	20050215		
JP 2005002116	A	20050106	JP 2004-202046	20040708
PRIORITY APPLN. INFO.:			US 1998-71840P	P 19980120
			JP 2000-540111	A3 19990119
			WO 1999-US993	W 19990119
			US 2000-619712	A3 20000719

OTHER SOURCE(S): MARPAT 131:116517

GI For diagram(s), see printed CA Issue.

AB The present invention relates to a pharmaceutical composition comprising as an active ingredient a compound of formula [I; wherein ring A is an aromatic or a heterocyclic ring; Q is a bond, carbonyl, lower alkylene optionally substituted by HO or Ph, lower alkenylene, or -O-(lower alkylene)-; n is 0, 1 or 2; Z is oxygen or sulfur; W is oxygen, sulfur, -CH:CH-, -NH- or -N:CH-; R1, R2 and R3 are the same or different and are hydrogen, halogen, hydroxyl, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted lower alkoxy group, a substituted or unsubstituted amino group, CO2H or an amide or an ester thereof, cyano, lower alkylthio, lower alkanesulfonyl, substituted or unsubstituted SO2NH2, etc.; R4 is tetrazolyl, carboxyl group, amide or ester; R5 is hydrogen, nitro, amino, hydroxyl, lower alkanoyl, lower alkyl, etc.; R6 is selected from (a) a substituted or unsubstituted Ph group, (b) a substituted or unsubstituted pyridyl group, (c) a substituted or unsubstituted thienyl group, (d) a substituted or unsubstituted benzofuranyl group, etc.; or a pharmaceutically acceptable salt thereof]. These phenylalanine derivs. are useful for treating or preventing conditions caused by α 4-mediated cell adhesion such as rheumatoid arthritis, asthma, psoriasis, eczema, contact dermatitis and other skin inflammatory diseases, diabetes, multiple sclerosis, systemic lupus erythematosus (SLE), inflammatory bowel disease including ulcerative colitis and Crohn's disease, and other diseases involving leukocyte infiltration of the gastrointestinal tract, or other epithelial lined tissues, such as skin, urinary tract, respiratory airway, and joint synovium. N-(tert-butoxycarbonyl)-O-(trifluoromethanesulfonyl)-L-tyrosine Me ester (preparation given) was coupled with 2-methoxybenzene boronic acid in toluene/DMF in the presence of K2CO3 and Pd(PPh3)4 at 80 °C for 24 h to give N-(tert-butoxycarbonyl)-4-(2-methoxyphenyl)-L-phenylalanine Me ester. The latter compound was treated with CF3CO2H in CH2Cl2 for 1.5 h to remove the Boc group and then condensed with 2,6-dichlorobenzoyl chloride in the presence of diisopropylethylamine at room temperature for 24 h to give N-(2,6-dichlorobenzoyl)-4-(2-methoxyphenyl)-L-phenylalanine Me ester (II) which was saponified with LiOH in THF/MeOH at room temperature for 3 h, evaporated, treated with H2O, adjusted Ph 2, and extracted with EtOAc to give N-(2,6-dichlorobenzoyl)-4-(2-methoxyphenyl)-L-phenylalanine (III). II and III in vitro inhibited at IC50 of $1\geq$ and $0.3\geq$ μ M, resp., β 7-mediated cell adhesion which measured the adhesive interactions of a B-cell line, RPMI, known to express α 4 β 7, to the alternatively spliced region of fibronectin referred to as CS-1, in the presence of test compds.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 5 COMPENDEX COPYRIGHT 2007 EEI on STN

ACCESSION NUMBER: 2004(38):5051 COMPENDEX

TITLE: Boronic acid based modular fluorescent sensors for glucose.

AUTHOR: Phillips, Marcus D. (Department of Chemistry University of Bath, Bath, BA2 7AY, United Kingdom); James, Tony D.

SOURCE: Journal of Fluorescence v 14 n 5 September 2004 2004.p 549-559

SOURCE: Journal of Fluorescence v 14 n 5 September 2004 2004.p 549-559

CODEN: JOFLEN ISSN: 1053-0509

PUBLICATION YEAR: 2004

DOCUMENT TYPE: Journal

TREATMENT CODE: Theoretical

LANGUAGE: English

AN 2004(38):5051 COMPENDEX

AB Modular photoinduced electron transfer (PET) sensors bearing two phenylboronic acid groups, one or two fluorophores: pyrene(a), phenanthrene(b), anthracene(c), 1-naphthalene(d), 2-naphthalene(e) and alkylene linkers, from trimethylene(3) to octamethylene(8), have been evaluated. Systems with a single pyrene fluorophore 34a 3 5a and 36a bind the strongest with D-glucose (36a also binds well with D-melibiose). Whilst 37a and 38a bind the strongest with D-galactose. Changing the fluorophore, also, influences the binding, 36a, 3 6b and 36c are D-glucose selective, whilst 36d and 36e are D-galactose selective. Systems with two fluorophores 36a-a and 36a-b show an overall decrease in binding efficiency. Energy transfer in 36a-b results in enhanced sensitivity and selectivity towards D-glucose. 63 Refs.

L12 ANSWER 5 OF 5 INSPEC (C) 2007 IET on STN

ACCESSION NUMBER: 2005:8383972 INSPEC

DOCUMENT NUMBER: A2005-12-8715M-001

TITLE: Boronic acid based modular fluorescent sensors for glucose

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AB Modular photoinduced electron transfer (PET) sensors bearing two phenylboronic acid groups, one or two fluorophores: pyrene (a), phenanthrene(b), anthracene (c), 1-naphthalene(d), 2-naphthalene(e) and alkylene linkers, from trimethylene(3) to octamethylene(8), have been evaluated. Systems with a single pyrene fluorophore 34a, 35a and 36a bind the strongest with D-glucose (36a also binds well with D-melibiose). Whilst 37a and 38a bind the strongest with D-galactose. Changing the fluorophore, also, influences the binding, 36a, 36b, and 36c are D-glucose selective, whilst 36d and 36e are D-galactose selective. Systems with two fluorophores 36a-a and 36a-b show an overall decrease in binding efficiency. Energy transfer in 36a-b results in enhanced sensitivity and selectivity towards D-glucose